stn

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NEWS 1		Web Page for STN Seminar Schedule - N. America
NEWS 2	JUL 28	CA/CAplus patent coverage enhanced
NEWS 3	JUL 28	EPFULL enhanced with additional legal status information from the epoline Register
NEWS 4	JUL 28	IFICDB, IFIPAT, and IFIUDB reloaded with enhancements
NEWS 5	JUL 28	STN Viewer performance improved
NEWS 6	AUG 01	INPADOCDB and INPAFAMDB coverage enhanced
NEWS 7	AUG 13	CA/CAplus enhanced with printed Chemical Abstracts page images from 1967-1998
NEWS 8	AUG 15	CAOLD to be discontinued on December 31, 2008
NEWS 9	AUG 15	CAplus currency for Korean patents enhanced
NEWS 10	AUG 27	CAS definition of basic patents expanded to ensure comprehensive access to substance and sequence information
NEWS 11	SEP 18	Support for STN Express, Versions 6.01 and earlier, to be discontinued
NEWS 12	SEP 25	CA/CAplus current-awareness alert options enhanced to accommodate supplemental CAS indexing of exemplified prophetic substances
NEWS 13	SEP 26	WPIDS, WPINDEX, and WPIX coverage of Chinese and and Korean patents enhanced
NEWS 14	SEP 29	IFICLS enhanced with new super search field
NEWS 15	SEP 29	EMBASE and EMBAL enhanced with new search and display fields
NEWS 16	SEP 30	CAS patent coverage enhanced to include exemplified prophetic substances identified in new Japanese-language patents
NEWS 17	OCT 07	EPFULL enhanced with full implementation of EPC2000
NEWS 18	OCT 07	Multiple databases enhanced for more flexible patent number searching
NEWS 19	OCT 22	Current-awareness alert (SDI) setup and editing enhanced
NEWS 20	OCT 22	WPIDS, WPINDEX, and WPIX enhanced with Canadian PCT Applications
NEWS 21	OCT 24	CHEMLIST enhanced with intermediate list of pre-registered REACH substances

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

Updated Search

stn

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 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS LOGIN    Welcome Banner and News Items
 NEWS IPC8     For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that
specific topic.

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* * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 17:27:42 ON 30 OCT 2008

=> file reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       0.21       0.21

FILE 'REGISTRY' ENTERED AT 17:28:03 ON 30 OCT 2008
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STRUCTURE FILE UPDATES:   29 OCT 2008  HIGHEST RN 1068186-59-3
DICTIONARY FILE UPDATES:  29 OCT 2008  HIGHEST RN 1068186-59-3

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

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http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Documents and Settings\brobinson1\My Documents\10583635.str

L1      STRUCTURE UPLOADED

=> s l1
SAMPLE SEARCH INITIATED 17:36:17 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      793 TO ITERATE
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Updated Search

stn

100.0% PROCESSED 793 ITERATIONS 50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 14171 TO 17549
PROJECTED ANSWERS: 8454 TO 11106

L2 50 SEA SSS SAM L1

=> s l1 full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 177.90 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 17:36:22 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 15904 TO ITERATE

100.0% PROCESSED 15904 ITERATIONS 9905 ANSWERS
SEARCH TIME: 00.00.01

L3 9905 SEA SSS FUL L1

=> file hcaplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 184.34 184.55

FILE 'HCAPLUS' ENTERED AT 17:36:25 ON 30 OCT 2008
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FILE COVERS 1907 - 30 Oct 2008 VOL 149 ISS 18
FILE LAST UPDATED: 29 Oct 2008 (20081029/ED)

HCAplus now includes complete International Patent Classification (IPC)
reclassification data for the second quarter of 2008.

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This file contains CAS Registry Numbers for easy and accurate
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=> s l3/prep
15464 L3

Updated Search

stn

```
       4660528 PREP/RL
L4        1550 L3/PREP
                (L3 (L) PREP/RL)

=> file reg
COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                     ENTRY    SESSION
FULL ESTIMATED COST                                   2.69     187.24

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http://www.cas.org/support/stngen/stndoc/properties.html

=>
Uploading C:\Documents and Settings\brobinson1\My Documents\asdfag.str

L5      STRUCTURE UPLOADED

=> s l5
SAMPLE SEARCH INITIATED 17:37:59 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      867 TO ITERATE

100.0% PROCESSED      867 ITERATIONS                              18 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        15574 TO    19106
PROJECTED ANSWERS:             106 TO      614

L6           18 SEA SSS SAM L5

=> s l5 full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 177.90 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
```

Updated Search

stn

FULL SEARCH INITIATED 17:38:03 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 17307 TO ITERATE

100.0% PROCESSED 17307 ITERATIONS 329 ANSWERS
SEARCH TIME: 00.00.01

L7 329 SEA SSS FUL L5

=> file hcaplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 179.28 366.52

FILE 'HCAPLUS' ENTERED AT 17:38:12 ON 30 OCT 2008
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=> d his

(FILE 'HOME' ENTERED AT 17:27:42 ON 30 OCT 2008)

FILE 'REGISTRY' ENTERED AT 17:28:03 ON 30 OCT 2008
L1 STRUCTURE UPLOADED
L2 50 S L1
L3 9905 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 17:36:25 ON 30 OCT 2008
L4 1550 S L3/PREP

FILE 'REGISTRY' ENTERED AT 17:36:34 ON 30 OCT 2008
L5 STRUCTURE UPLOADED
L6 18 S L5
L7 329 S L5 FULL

Updated Search

stn

FILE 'HCAPLUS' ENTERED AT 17:38:12 ON 30 OCT 2008

```
=> s l7/rct
           822 L7
       3163746 RCT/RL
L8         684 L7/RCT
                (L7 (L) RCT/RL)

=> s l8 and l4
L9          27 L8 AND L4

=> s l9 and shapiro, r?/au
           857 SHAPIRO, R?/AU
L10          1 L9 AND SHAPIRO, R?/AU

=> d l10, ibib abs hitstr, 1

L10  ANSWER 1 OF 1  HCAPLUS  COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:         2005:696875  HCAPLUS
DOCUMENT NUMBER:          143:155307
TITLE:                    Process for the manufacture of 2,3-dichloropyridine
INVENTOR(S):              Shapiro, Rafael
PATENT ASSIGNEE(S):       E.I. Dupont de Nemours and Company, USA
SOURCE:                   PCT Int. Appl., 23 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:
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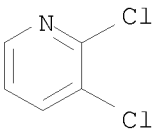
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005070888	A2	20050804	WO 2005-US2462	20050121
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005206576	A1	20050804	AU 2005-206576	20050121
CA 2553850	A1	20050804	CA 2005-2553850	20050121
EP 1706381	A2	20061004	EP 2005-712075	20050121
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS, YU				
CN 1910152	A	20070207	CN 2005-80002691	20050121
BR 2005006502	A	20070227	BR 2005-6502	20050121
JP 2007523065	T	20070816	JP 2006-551437	20050121
US 20070161797	A1	20070712	US 2006-583635	20060620
IN 2006DN03640	A	20070824	IN 2006-DN3640	20060623
MX 2006PA08208	A	20060831	MX 2006-PA8208	20060719

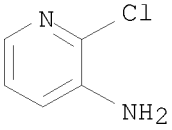
Updated Search

stn

PRIORITY APPLN. INFO.: US 2004-539068P P 20040123
WO 2005-US2462 W 20050121
OTHER SOURCE(S): CASREACT 143:155307
AB A method for preparing 2,3-dichloropyridine is disclosed in which 3-amino-2-chloropyridine is contacted with an alkali metal nitrite in the presence of aqueous hydrochloric acid to form a diazonium salt; and the diazonium salt is subsequently decomposed in the presence of copper catalyst wherein at least about 50% of the copper is the copper(II) oxidation state.
IT 2402-77-9P, 2,3-Dichloropyridine
RL: IMF (Industrial manufacture); PREP (Preparation)
(process for the manufacture of 2,3-dichloropyridine)
RN 2402-77-9 HCAPLUS
CN Pyridine, 2,3-dichloro- (CA INDEX NAME)

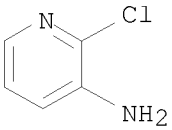


IT 94770-75-9P
RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(process for the manufacture of 2,3-dichloropyridine)
RN 94770-75-9 HCAPLUS
CN 3-Pyridinamine, 2-chloro-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

IT 6298-19-7, 3-Amino-2-chloropyridine
RL: RCT (Reactant); RACT (Reactant or reagent)
(process for the manufacture of 2,3-dichloropyridine)
RN 6298-19-7 HCAPLUS
CN 3-Pyridinamine, 2-chloro- (CA INDEX NAME)



Updated Search

stn

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=> file reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                      16.21     382.73

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                         ENTRY    SESSION
CA SUBSCRIBER PRICE                                      -0.80      -0.80

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http://www.cas.org/support/stngen/stndoc/properties.html

=> e nitrite/cn
E1            1     NITRINE-TDC/CN
E2            1     NITRINOSE/CN
E3            1 --> NITRITE/CN
E4            1     NITRITE (13NO21-)/CN
E5            1     NITRITE (15N18O21-)/CN
E6            1     NITRITE (15NO18O1-)/CN
E7            1     NITRITE (15NO21-)/CN
E8            1     NITRITE (CYTOCHROME C;AMMONIA-FORMING) REDUCTASE/CN
E9            1     NITRITE (CYTOCHROME) REDUCTASE/CN
E10           1     NITRITE (H(NO2)21-)/CN
E11           1     NITRITE (NO18O1-)/CN
E12           1     NITRITE (NO2-)/CN

=> s e3
L11           1 NITRITE/CN

=> file hcaplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                       5.61     388.34
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Updated Search

stn

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE ENTRY TOTAL SESSION
CA SUBSCRIBER PRICE 0.00 -0.80

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FILE LAST UPDATED: 29 Oct 2008 (20081029/ED)

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reclassification data for the second quarter of 2008.

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 substance identification.

```
=> s l11
L12      19225 L11

=> d his

     (FILE 'HOME' ENTERED AT 17:27:42 ON 30 OCT 2008)

     FILE 'REGISTRY' ENTERED AT 17:28:03 ON 30 OCT 2008
L1             STRUCTURE UPLOADED
L2           50 S L1
L3         9905 S L1 FULL

     FILE 'HCAPLUS' ENTERED AT 17:36:25 ON 30 OCT 2008
L4         1550 S L3/PREP

     FILE 'REGISTRY' ENTERED AT 17:36:34 ON 30 OCT 2008
L5             STRUCTURE UPLOADED
L6           18 S L5
L7          329 S L5 FULL

     FILE 'HCAPLUS' ENTERED AT 17:38:12 ON 30 OCT 2008
L8          684 S L7/RCT
L9           27 S L8 AND L4
L10           1 S L9 AND SHAPIRO, R?/AU
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Updated Search

stn

FILE 'REGISTRY' ENTERED AT 17:40:46 ON 30 OCT 2008
E NITRITE/CN
L11 1 S E3

FILE 'HCAPLUS' ENTERED AT 17:40:58 ON 30 OCT 2008
L12 19225 S L11

=> s l12 and l9
L13 0 L12 AND L9

=> s l9 and l12
L14 0 L9 AND L12

=> d l9, ibib abs hitstr, 1-27

L9 ANSWER 1 OF 27 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:590684 HCAPLUS
DOCUMENT NUMBER: 148:561908
TITLE: Preparation of heterocyclic sulfonamide compounds as Edg-1 antagonists useful in the treatment of cancer
INVENTOR(S): Grewal, Gurmit; Hennessy, Edward; Kamhi, Victor; Li, Danyang; Lyne, Paul; Oza, Vibha; Saeh, Jamel Carlos; Su, Qibin; Yang, Bin
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca Uk Limited
SOURCE: PCT Int. Appl., 215 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

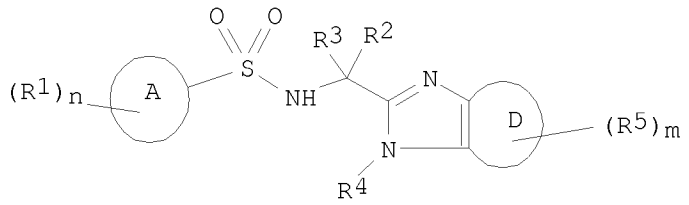
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008056150	A1	20080515	WO 2007-GB4267	20071108
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.:
US 2006-865364P P 20061110
US 2007-895699P P 20070319
US 2007-947795P P 20070703
US 2007-953838P P 20070803

OTHER SOURCE(S): MARPAT 148:561908
GI

Updated Search

stn



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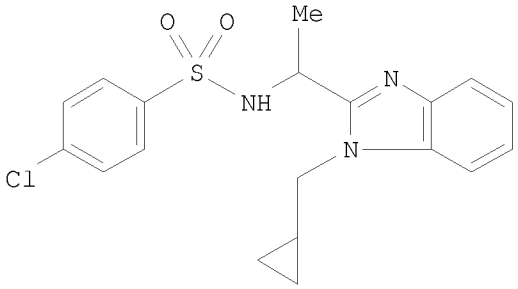


II

AB The invention relates to chemical compds. of formula I (wherein ring A is carbocyclyl or heterocyclyl; n = 0-5; R1 is halo, nitro, cyano, etc.; R2 is C1-6alkyl, carbocyclyl, etc.; R3 is H, C1-6alkyl, etc.; or alternatively, R2 and R3 together may form part of C3-6carbocyclic ring; R4 is C1-6alkyl or carbocyclyl; Ring D is a 5-7 membered ring; R5 is a substituent on carbon and is halo, nitro, cyano, etc.; m is 0-5) or pharmaceutically acceptable salts thereof, which possess Edg-1 antagonistic activity and are accordingly useful for their anti-cancer activity and thus in methods of treatment of the human or animal body. The invention also relates to processes for the manufacture of said chemical compds., to pharmaceutical compns. containing them and to their use in the manufacture of medicaments for use in the production of an anti-cancer effect in a warm-blooded animal, such as man. Example compound II, prepared by reacting the appropriate sulfonyl chloride with [1-[1-(cyclopropylmethyl)-1H-benzimidazol-2-yl]ethyl]amine, caused 100% inhibition of Edg-1 receptor activity at 3.70 μM in an in vitro cell based receptor activation assay.

IT 1025506-76-6P, 5,6-Dichloro-N-[(1R)-1-[1-ethyl-6-(trifluoromethyl)-1H-benzimidazol-2-yl]ethyl]pyridine-3-sulfonamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of heterocyclic sulfonamide compds. as Edg-1 antagonists useful in treatment of cancer)

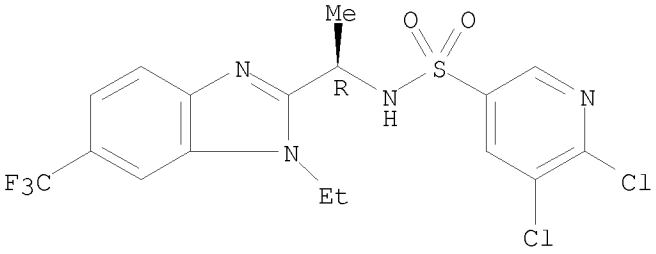
RN 1025506-76-6 HCAPLUS

CN 3-Pyridinesulfonamide, 5,6-dichloro-N-[(1R)-1-[1-ethyl-6-(trifluoromethyl)-1H-benzimidazol-2-yl]ethyl]- (CA INDEX NAME)

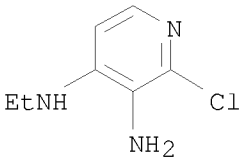
Absolute stereochemistry.

Updated Search

stn



IT 1025509-12-9P, 2-Chloro-N'-ethylpyridine-3,4-diamine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocyclic sulfonamide compds. as Edg-1 antagonists useful in treatment of cancer)
RN 1025509-12-9 HCAPLUS
CN 3,4-Pyridinediamine, 2-chloro-N4-ethyl- (CA INDEX NAME)

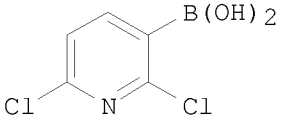


REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 2 OF 27 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:490320 HCAPLUS
DOCUMENT NUMBER: 149:32173
TITLE: (Dimethoxy- and dihalopyridyl)boronic acids and highly functionalized heteroarylpyridines by Suzuki cross-coupling reactions
AUTHOR(S): Smith, Amy E.; Clapham, Kate M.; Batsanov, Andrei S.; Bryce, Martin R.; Tarbit, Brian
CORPORATE SOURCE: Department of Chemistry, Durham University, Durham, DH1 3LE, UK
SOURCE: European Journal of Organic Chemistry (2008), (8), 1458-1463
CODEN: EJOCFK; ISSN: 1434-193X
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 149:32173
GI

Updated Search

stn



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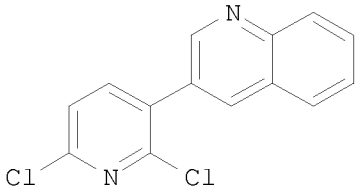

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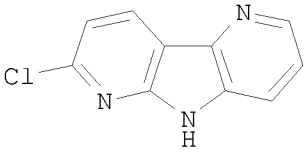


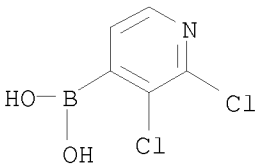
III

AB (Dimethoxy- and dihalopyridyl)boronic acids, e.g., I, were synthesized by directed ortho-metalation reactions on the corresponding disubstituted pyridine precursor, followed by the reaction with triisopropyl borate (TPB) or tri-Me borate. The reactivity of the pyridylboronic acids with heteroaryl halides in Suzuki-Miyaura cross-coupling reactions has been evaluated. New highly functionalized heteroarylpyridine derivs., e.g., II, have thereby been obtained in moderate to high yields. The reaction of I and 3-amino-2-chloropyridine yielded the rare 5H-pyrrolo[2,3-b:4,5-b']dipyridine (i.e. 1,5-diazacarbazole) ring system III by sequential cross-coupling and intra-mol. cyclization reactions. The X-ray crystal structures are reported for the pyridylboronic acids.

IT 951677-39-7P
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(crystal structure; preparation of heteroarylpyridines via ortho-metalation and substitution of disubstituted pyridines to generate corresponding pyridylboronic acids which undergo Suzuki-Miyaura cross-coupling with heteroaryl halides)

RN 951677-39-7 HCAPLUS

CN Boronic acid, B-(2,3-dichloro-4-pyridinyl)- (CA INDEX NAME)



IT 6298-19-7, 2-Chloro-3-aminopyridine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of heteroarylpyridines via ortho-metalation and substitution of disubstituted pyridines to generate corresponding pyridylboronic acids which undergo Suzuki-Miyaura cross-coupling with heteroaryl halides)

RN 6298-19-7 HCAPLUS

CN 3-Pyridinamine, 2-chloro- (CA INDEX NAME)

Updated Search

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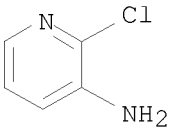
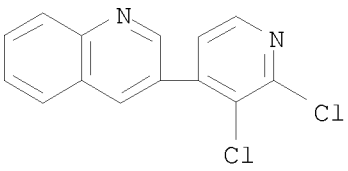
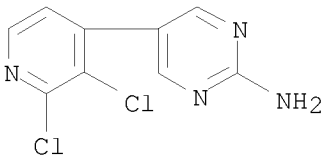


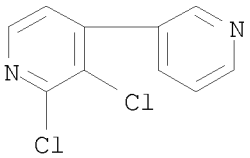
IT 1031439-21-0P 1031439-23-2P 1031439-25-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of heteroarylpyridines via ortho-metalation and substitution of disubstituted pyridines to generate corresponding pyridylboronic acids which undergo Suzuki-Miyaura cross-coupling with heteroaryl halides)
RN 1031439-21-0 HCAPLUS
CN Quinoline, 3-(2,3-dichloro-4-pyridinyl)- (CA INDEX NAME)



RN 1031439-23-2 HCAPLUS
CN 2-Pyrimidinamine, 5-(2,3-dichloro-4-pyridinyl)- (CA INDEX NAME)



RN 1031439-25-4 HCAPLUS
CN 3,4'-Bipyridine, 2',3'-dichloro- (CA INDEX NAME)



REFERENCE COUNT: 73 THERE ARE 73 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 27 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:410542 HCAPLUS
DOCUMENT NUMBER: 146:422187

Updated Search

stn

TITLE: Preparation of 9-azabicyclo[3.3.1]nonane derivatives for therapeutic use as dopamine and serotonin reuptake inhibitors

INVENTOR(S): Bingham, Matilda Jane; Huggett, Margaret Jean; Huggett, Mark; Kiyoi, Yasuko; Napier, Susan Elizabeth; Nimz, Olaf

PATENT ASSIGNEE(S): N. V. Organon, Neth.

SOURCE: PCT Int. Appl., 69pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

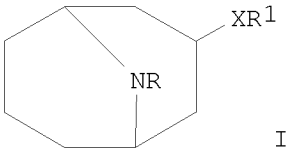
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007039563	A1	20070412	WO 2006-EP66896	20060929
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
CA 2623359	A1	20070412	CA 2006-2623359	20060929
US 20070112019	A1	20070517	US 2006-541273	20060929
EP 1934212	A1	20080625	EP 2006-793916	20060929
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR, RS				
MX 200804246	A	20080521	MX 2008-4246	20080328
CN 101291931	A	20081022	CN 2006-80039124	20080421

PRIORITY APPLN. INFO.:			EP 2005-109123	A 20050930
			US 2005-721964P	P 20050930
			WO 2006-EP66896	W 20060929

OTHER SOURCE(S): MARPAT 146:422187

GI



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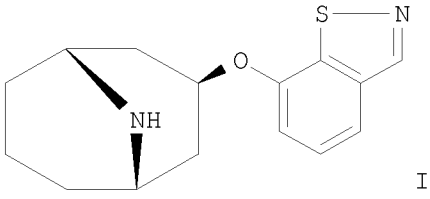

II

AB 9-Azabicyclo[3.3.1]nonane derivs., such as I (R = H, alkyl; R1 = aryl,

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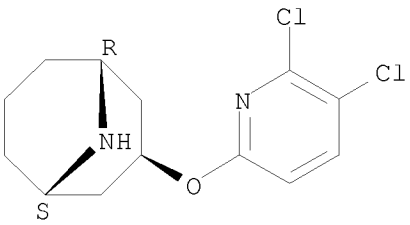
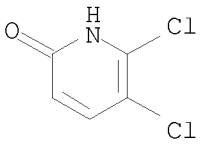
heteroaryl; X = O, NH), were prepared for use in pharmaceutical compns. for the treatment or prevention of diseases or disorders for which the reuptake inhibition of one or more monoamine neurotransmitter contributes to the therapeutic effect, such as depression or pain. Thus, exo-3-(benzo[d]isothiazol-7-yloxy)-9-azabicyclo[3.3.1]nonane (II) was prepared in 53% yield by reacting endo-3-hydroxy-9-azabicyclo[3.3.1]nonane-9-carboxylic acid tert-Bu ester with benzo[d]isothiazol-7-ol using (4,4-dimethyl-1,1-dioxido-1,2,5-thiadiazolidin-2-yl)triphenylphosphonium in THF and heated to 140° for 10 min using microwave irradiation The prepared 9-azabicyclo[3.3.1]nonanes were assayed in vitro for inhibition of dopamine and serotonin uptake.

IT 934181-09-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 9-azabicyclo[3.3.1]nonane derivs. for therapeutic use as monoamine reuptake inhibitors)
RN 934181-09-6 HCAPLUS
CN 9-Azabicyclo[3.3.1]nonane, 3-[(5,6-dichloro-2-pyridinyl)oxy]-, (3-exo)- (CA INDEX NAME)

Relative stereochemistry.



IT 24525-63-1P 34392-85-3P 83732-68-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 9-azabicyclo[3.3.1]nonane derivs. for therapeutic use as monoamine reuptake inhibitors)
RN 24525-63-1 HCAPLUS
CN 2(1H)-Pyridinone, 5,6-dichloro- (CA INDEX NAME)



RN 34392-85-3 HCAPLUS
CN 3-Pyridinamine, 2-chloro-6-methoxy- (CA INDEX NAME)

Updated Search

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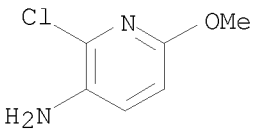
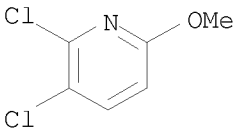
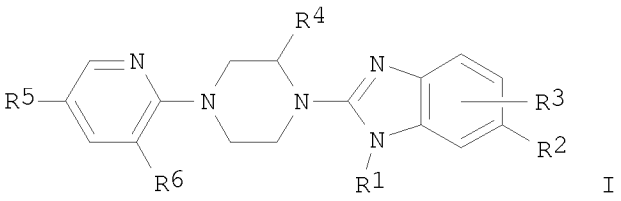


RN 83732-68-7 HCAPLUS
CN Pyridine, 2,3-dichloro-6-methoxy- (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 4 OF 27 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:476236 HCAPLUS
DOCUMENT NUMBER: 145:167209
TITLE: Design of potent, orally available antagonists of the transient receptor potential vanilloid 1. Structure-activity relationships of 2-piperazin-1-yl-1H-benzimidazoles
AUTHOR(S): Ognyanov, Vassil I.; Balan, Chenera; Bannon, Anthony W.; Bo, Yunxin; Dominguez, Celia; Fotsch, Christopher; Gore, Vijay K.; Klionsky, Lana; Ma, Vu V.; Qian, Yi-Xin; Tamir, Rami; Wang, Xianghong; Xi, Ning; Xu, Shimin; Zhu, Dawn; Gavva, Narender R.; Treanor, James J. S.; Norman, Mark H.
CORPORATE SOURCE: Department of Chemistry Research and Discovery and Department of Neuroscience, Amgen Inc., Thousand Oaks, CA, 91320-1799, USA
SOURCE: Journal of Medicinal Chemistry (2006), 49(12), 3719-3742
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 145:167209
GI



I

Updated Search

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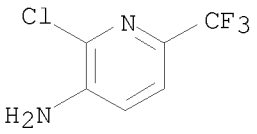
AB The vanilloid receptor-1 (VR1 or TRPV1) is a membrane-bound, nonselective cation channel that is predominantly expressed by peripheral neurons sensing painful stimuli. TRPV1 antagonists produce antihyperalgesic effects in animal models of inflammatory and neuropathic pain. The synthesis and the structure-activity relationships of a series of 2-(4-pyridin-2-ylpiperazin-1-yl)-1H-benzo[d]imidazoles I [R1 = H, Me3SiCH2CH2OCH2, PhCH2; R2 = F, Cl, Br, F3C, Me, CN, Me3C, MeO2C, etc.; R3 = H, 4-(2-thiazolyl), 4-(4-pyridyl), 5-(4-F3CC6H4), etc.; R4 = H, Me; R5 = H, H2N, MeCHOH, H2C:CH, etc.; R6 = H, Cl, F3C, etc.] and analogs as novel TRPV1 antagonists have been described. I [R1 = H; R2 = F3C; R3 = 4-(3,4,5-F3C6H2); R4 = (R)-Me; R5 = HOCH2CHOH; R6 = Cl; (II)] was among the most potent analogs in this series. This compound was orally bioavailable in rats and was efficacious in blocking capsaicin-induced flinch in rats in a dose-dependent manner. II also reversed thermal hyperalgesia in a model of inflammatory pain, which was induced by complete Freund's adjuvant (CFA).

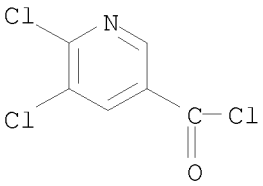
IT 117519-09-2, 3-Amino-2-chloro-6-(trifluoromethyl)pyridine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of [(pyridyl)piperazinyl]benzimidazoles and analogs as potent, orally available antagonists of the transient receptor potential vanilloid 1 and analgesics)

RN 117519-09-2 HCAPLUS
CN 3-Pyridinamine, 2-chloro-6-(trifluoromethyl)- (CA INDEX NAME)



IT 54127-29-6P 56055-54-0P 71690-05-6P
75291-84-8P 162327-73-3P 683243-82-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of [(pyridyl)piperazinyl]benzimidazoles and analogs as potent, orally available antagonists of the transient receptor potential vanilloid 1 and analgesics)

RN 54127-29-6 HCAPLUS
CN 3-Pyridinecarbonyl chloride, 5,6-dichloro- (CA INDEX NAME)



RN 56055-54-0 HCAPLUS
CN 3-Pyridinecarboxylic acid, 5,6-dichloro-, methyl ester (CA INDEX NAME)

Updated Search

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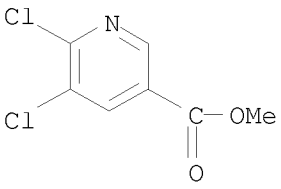
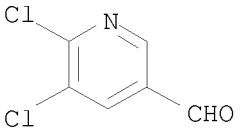
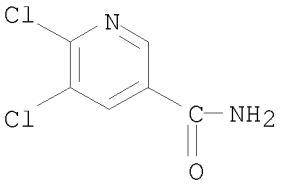


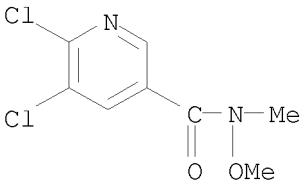
RN 71690-05-6 HCAPLUS
CN 3-Pyridinecarboxaldehyde, 5,6-dichloro- (CA INDEX NAME)



RN 75291-84-8 HCAPLUS
CN 3-Pyridinecarboxamide, 5,6-dichloro- (CA INDEX NAME)



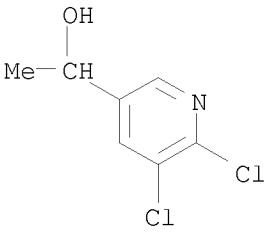
RN 162327-73-3 HCAPLUS
CN 3-Pyridinecarboxamide, 5,6-dichloro-N-methoxy-N-methyl- (CA INDEX NAME)



RN 683243-82-5 HCAPLUS
CN 3-Pyridinemethanol, 5,6-dichloro-α-methyl- (CA INDEX NAME)

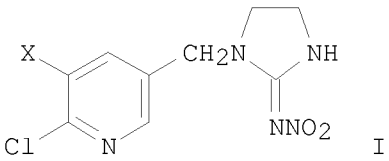
Updated Search

stn



REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 5 OF 27 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:36034 HCAPLUS
DOCUMENT NUMBER: 145:210950
TITLE: Effects of halogen introduction at the C-5 position of the imidacloprid pyridine ring upon insecticidal activity
AUTHOR(S): Kagabu, Shinzo; Ito, Nakako; Imai, Rie; Hieta, Yosuke; Nishimura, Keiichiro
CORPORATE SOURCE: Department of Chemistry, Faculty of Education, Gifu University, Gifu, 501-1193, Japan
SOURCE: Journal of Pesticide Science (Tokyo, Japan) (2005), 30(4), 409-413
CODEN: JPSTCF; ISSN: 1348-589X
PUBLISHER: Pesticide Science Society of Japan
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

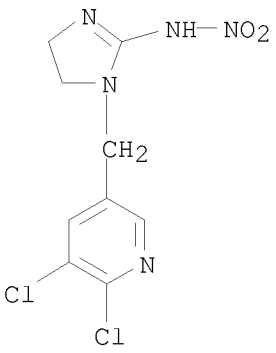
AB Following a recent report of unexpectedly high affinity of 5-azidoimidacloprid to insect nicotinic acetylcholine receptor, derivs. with four halogen atoms and cyano and nitro were prepared, and the insecticidal effect was evaluated in American cockroaches by injection alone and with synergists piperonyl butoxide and propargyl Pr benzenephosphonate. The log (1/MLD) value, the minimal LD in mol, was 8.96 for imidacloprid (I, X = H) and 8.82 for the fluoro derivative (I, X = F). The other derivs. were less active. The synergists enhanced the activity of all compds. The log (1/MLD) value for 5-azidoimidacloprid, 7.37 without or 8.18 with synergists, was not striking in this experiment

IT 135769-74-3P
RL: BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(effects of halogen introduction at C-5 position of imidacloprid pyridine ring upon insecticidal activity)

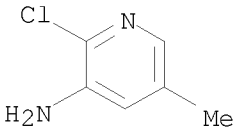
Updated Search

stn

RN 135769-74-3 HCAPLUS
CN 1H-Imidazol-2-amine, 1-[(5,6-dichloro-3-pyridinyl)methyl]-4,5-dihydro-N-nitro- (CA INDEX NAME)



IT 34552-13-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(effects of halogen introduction at C-5 position of imidacloprid pyridine ring upon insecticidal activity)
RN 34552-13-1 HCAPLUS
CN 3-Pyridinamine, 2-chloro-5-methyl- (CA INDEX NAME)



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 6 OF 27 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:729612 HCAPLUS
DOCUMENT NUMBER: 143:211723
TITLE: Preparation of 3-benozylaminobenzamide derivatives and related amides derivatives as insecticides
INVENTOR(S): Yoshida, Kei; Wakita, Takeo; Katsuta, Hiroyuki; Kai, Akiyoshi; Chiba, Yutaka; Takahashi, Kiyoshi; Kato, Hiroko; Kawahara, Nobuyuki; Nomura, Michikazu; Daido, Hidenori; Maki, Junji; Banba, Shinichi
PATENT ASSIGNEE(S): Mitsui Chemicals, Inc., Japan
SOURCE: PCT Int. Appl., 264 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

Updated Search

stn

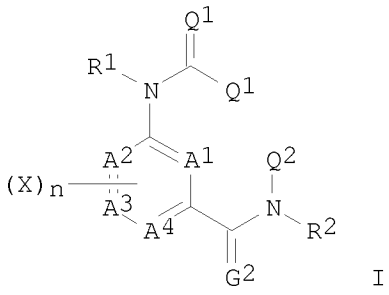
WO 2005073165	A1	20050811	WO 2004-JP19770	20041224
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004315003	A1	20050811	AU 2004-315003	20041224
CA 2554437	A1	20050811	CA 2004-2554437	20041224
EP 1714958	A1	20061025	EP 2004-808120	20041224
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
CN 1926094	A	20070307	CN 2004-80042513	20041224
BR 2004018471	A	20070605	BR 2004-18471	20041224
IN 2006DN04275	A	20070713	IN 2006-DN4275	20060725
MX 2006PA08526	A	20061030	MX 2006-PA8526	20060728
KR 857312	B1	20080905	KR 2006-716969	20060823
KR 2008052692	A	20080611	KR 2008-712145	20080521

PRIORITY APPLN. INFO.:

JP 2004-19438	A	20040128
JP 2004-48031	A	20040224
JP 2004-209002	A	20040715
WO 2004-JP19770	W	20041224
KR 2006-716969	A3	20060823

OTHER SOURCE(S): MARPAT 143:211723

GI



I

AB The invention aims at providing highly effective insecticides. Amide compds. represented by the general formula (I) (wherein A1, A2, A3, A4 = C, N, or oxidized N; R1, R2 = H, each optionally substituted alkyl or C1-4 alkylcarbonyl; G1, G2 = O, S; X's may be the same or different from each other and are each hydrogen, halogeno, C1-3 alkyl, or trifluoromethyl; n = an integer of 0 to 4; Q1 = optionally substituted Ph, naphthyl, or heterocyclic group; Q2 = Ph or heterocyclic group having one or more substituents, at least one of the substituents being C1-4 haloalkoxy, C2-6

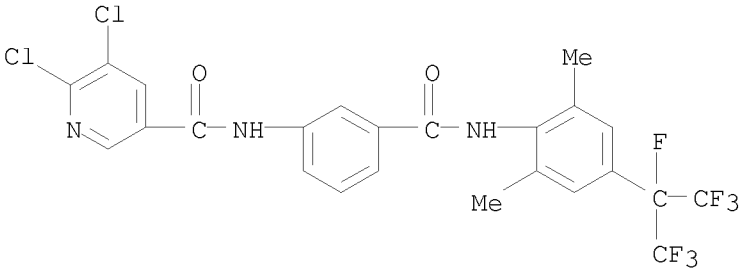
Updated Search

perfluoroalkyl, C1-6 perfluoroalkylthio, C1-6 perfluoroalkylsulfinyl, or C1-6 perfluoroalkylsulfonyl) are prepared Thus, 0.09 g benzoyl chloride was added to a stirred solution of 0.25 g N-(2,6-dimethyl-4-heptafluoroisopropylphenyl)-3-aminobenzamide and 0.06 g pyridine in 5 mL THF and stirred at room temperature for 1 h to give 92% N-(2,6-dimethyl-4-heptafluoroisopropylphenyl)-3-(benzoylamino)benzamide (II). II at 100 ppm controlled ≥70% 2nd-instar larvae of Spodoptera litura and Plutella xylostella on cabbage leaves.

IT 862131-14-4P
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-benozylaminobenzamide and related amide derivs. as insecticides)

RN 862131-14-4 HCAPLUS

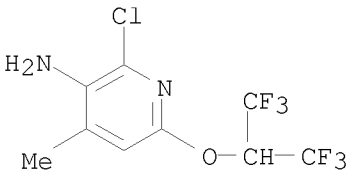
CN 3-Pyridinecarboxamide, 5,6-dichloro-N-[3-[[[2,6-dimethyl-4-[1,2,2,2-tetrafluoro-1-(trifluoromethyl)ethyl]phenyl]amino]carbonyl]phenyl]- (CA INDEX NAME)



IT 862132-96-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 3-benozylaminobenzamide and related amide derivs. as insecticides)

RN 862132-96-5 HCAPLUS

CN 3-Pyridinamine, 2-chloro-4-methyl-6-[2,2,2-trifluoro-1-(trifluoromethyl)ethoxy]- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 7 OF 27 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:696875 HCAPLUS
DOCUMENT NUMBER: 143:155307

Updated Search

stn

TITLE: Process for the manufacture of 2,3-dichloropyridine
INVENTOR(S): Shapiro, Rafael
PATENT ASSIGNEE(S): E.I. Dupont de Nemours and Company, USA
SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005070888	A2	20050804	WO 2005-US2462	20050121
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005206576	A1	20050804	AU 2005-206576	20050121
CA 2553850	A1	20050804	CA 2005-2553850	20050121
EP 1706381	A2	20061004	EP 2005-712075	20050121
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS, YU				
CN 1910152	A	20070207	CN 2005-80002691	20050121
BR 2005006502	A	20070227	BR 2005-6502	20050121
JP 2007523065	T	20070816	JP 2006-551437	20050121
US 20070161797	A1	20070712	US 2006-583635	20060620
IN 2006DN03640	A	20070824	IN 2006-DN3640	20060623
MX 2006PA08208	A	20060831	MX 2006-PA8208	20060719

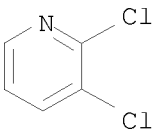
PRIORITY APPLN. INFO.: US 2004-539068P P 20040123
WO 2005-US2462 W 20050121

OTHER SOURCE(S): CASREACT 143:155307

AB A method for preparing 2,3-dichloropyridine is disclosed in which 3-amino-2-chloropyridine is contacted with an alkali metal nitrite in the presence of aqueous hydrochloric acid to form a diazonium salt; and the diazonium salt is subsequently decomposed in the presence of copper catalyst wherein at least about 50% of the copper is the copper(II) oxidation state.

IT 2402-77-9P, 2,3-Dichloropyridine
RL: IMF (Industrial manufacture); PREP (Preparation)
(process for the manufacture of 2,3-dichloropyridine)

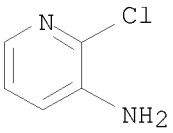
RN 2402-77-9 HCAPLUS
CN Pyridine, 2,3-dichloro- (CA INDEX NAME)



Updated Search

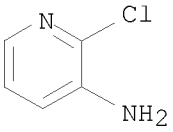
stn

IT 94770-75-9P
RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(process for the manufacture of 2,3-dichloropyridine)
RN 94770-75-9 HCAPLUS
CN 3-Pyridinamine, 2-chloro-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

IT 6298-19-7, 3-Amino-2-chloropyridine
RL: RCT (Reactant); RACT (Reactant or reagent)
(process for the manufacture of 2,3-dichloropyridine)
RN 6298-19-7 HCAPLUS
CN 3-Pyridinamine, 2-chloro- (CA INDEX NAME)



L9 ANSWER 8 OF 27 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:238994 HCAPLUS
DOCUMENT NUMBER: 142:316820
TITLE: Preparation of hetero-bicyclic fused thieno-pyran compounds as antibacterial, antiviral, antitumor, and pharmaceutically active agents
INVENTOR(S): Koul, Anil; Klebl, Bert; Mueller, Gerhard; Missio, Andrea; Schwab, Wilfried; Hafenbradl, Doris; Neumann, Lars; Sommer, Marc-Nicola; Mueller, Stefan; Hoppe, Edmund; Freisleben, Achim; Backes, Alexander; Hartung, Christian; Felber, Beatrice; Zech, Birgit; Engkvist, Ola; Keri, Gyoergy; Oerfi, Laszlo; Banhegyi, Peter; Greff, Zoltan; Horvath, Zoltan; Varga, Zoltan; Marko, Peter; Pato, Janos; Szabadkai, Istvan; Szekelyhidi, Zsolt; Waczek, Frigyes
PATENT ASSIGNEE(S): Axxima Pharmaceuticals A.-G., Germany
SOURCE: PCT Int. Appl., 259 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

Updated Search

stn

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005023818	A2	20050317	WO 2004-EP10161	20040910
WO 2005023818	A3	20050825		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004270394	A1	20050317	AU 2004-270394	20040910
CA 2572750	A1	20050317	CA 2004-2572750	20040910
EP 1670804	A2	20060621	EP 2004-786934	20040910
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
US 20070275962	A1	20071129	US 2007-597120	20070306

PRIORITY APPLN. INFO.:	EP 2003-20616	A	20030910
	US 2003-502606P	P	20030915
	EP 2004-4891	A	20040302
	US 2004-551341P	P	20040310
	EP 2004-12814	A	20040528
	US 2004-577043P	P	20040607
	WO 2004-EP10161	W	20040910

OTHER SOURCE(S): CASREACT 142:316820; MARPAT 142:316820

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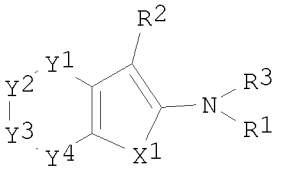

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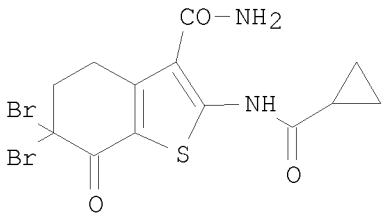

II

AB Described are hetero-bicyclic compds. such as 4,5,6,7-tetrahydro-benzo[b]thiophene-3-carboxylic acid amides, 4,7-dihydro-5H-thieno[2,3-c]thiopyran-3-carboxylic acid amides, 4,7-dihydro-5H-thieno[2,3-c]pyran-3-carboxylic acid amides, or benzo[b]thiophene-3-carboxylic acid amides I, wherein X1 is S, O, NH, substituted nitrogen; Y1-Y4 form with the ring containing X1 a hetero-bicyclic ring system; R1 is H, alkyl, cycloalkyl, heterocycle, alkynyl, substituted Ph, acyl, benzyl; R2 is amide, thioamide, sulfonamide, ester, sulfonyl; R3 is H, acyl, thio-ketone, sulfonyl, amide, thio-amide, diketone-amide, ester, thio-ester; and pharmaceutically acceptable salts thereof, the use of these derivs. for the prophylaxis and/or treatment of various diseases such as infectious diseases, including mycobacteria-induced infections and

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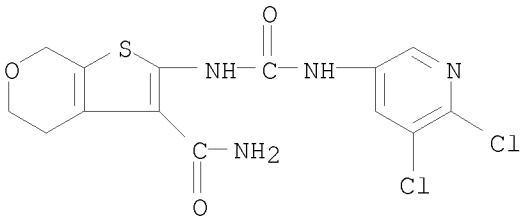
opportunistic diseases, prion diseases, immunol. diseases, autoimmune diseases, bipolar and clin. disorders, cardiovascular diseases, cell proliferative diseases, diabetes, inflammation, transplant rejections, erectile dysfunction, neurodegenerative diseases and stroke, as well as compns. containing at least one hetero-bicyclic compound and/or pharmaceutically acceptable salts thereof. Furthermore, reaction procedures for the synthesis of the hetero-bicyclic compound are disclosed. Thus, benzo[b]thiophen-carboxylic acid amide II was prepared and tested in vitro for its inhibitory effect on mycobacterial protein kinase G (IC50 = 0.1-1.0 μM).

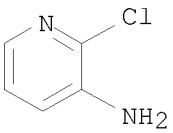
IT 848327-78-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterobicyclic fused thienopyran compds. as antibacterial antiviral antitumor and pharmaceutically active agents)

RN 848327-78-6 HCAPLUS
CN 5H-Thieno[2,3-c]pyran-3-carboxamide, 2-[[[(5,6-dichloro-3-pyridinyl)amino]carbonyl]amino]-4,7-dihydro- (CA INDEX NAME)



IT 6298-19-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of heterobicyclic fused thienopyran compds. as antibacterial antiviral antitumor and pharmaceutically active agents)

RN 6298-19-7 HCAPLUS
CN 3-Pyridinamine, 2-chloro- (CA INDEX NAME)



L9 ANSWER 9 OF 27 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:238985 HCAPLUS
DOCUMENT NUMBER: 142:316863
TITLE: Preparation of heteroaryl fused pyridines, pyrazines, and pyrimidines as CRF-1 receptor ligands
INVENTOR(S): Ge, Ping; Horvath, Raymond F.; Zhang, Lu Yan; Yamaguchi, Yasuchika; Kaiser, Bernd; Zhang, Xuechun;

Updated Search

stn

	Zhang, Suoming; Zhao, He; John, Stanly; Moorcroft, Neil; Shutske, Greg
PATENT ASSIGNEE(S):	Neurogen Corporation, USA; Aventis Pharmaceuticals Inc.
SOURCE:	PCT Int. Appl., 290 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2005023806	A2	20050317	WO 2004-US28899		20040903
WO 2005023806	A3	20050602			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
AU 2004270713	A1	20050317	AU 2004-270713		20040903
CA 2537829	A1	20050317	CA 2004-2537829		20040903
US 20050113379	A1	20050526	US 2004-933834		20040903
EP 1680424	A2	20060719	EP 2004-788585		20040903
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR					
BR 2004014087	A	20061031	BR 2004-14087		20040903
CN 1878773	A	20061213	CN 2004-80032703		20040903
JP 2007504271	T	20070301	JP 2006-526210		20040903
MX 2006PA02556	A	20061030	MX 2006-PA2556		20060303
NO 2006001180	A	20060331	NO 2006-1180		20060314
US 20060199823	A1	20060907	US 2006-389646		20060324
PRIORITY APPLN. INFO.:			US 2003-500414P	P	20030905
			US 2004-933834	A1	20040903
			WO 2004-US28899	W	20040903

OTHER SOURCE(S): CASREACT 142:316863; MARPAT 142:316863

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Updated Search

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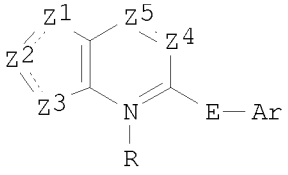

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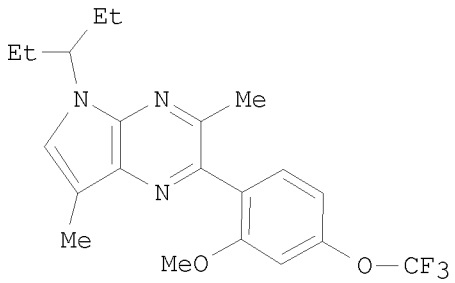

II

AB Substituted heteroaryl fused pyridine, pyrazine, and pyrimidine compds. I, wherein E is a single bond, O, S(O)m, substituted amine, alkylidene; m is 0-2; Ar is chosen from: substituted Ph, substituted 1-naphthyl and 2-naphthyl, substituted heteroaryl, said heteroaryl having from 1 to 3 rings, 5 to 7 ring members in each ring and, in at least one of said rings, from 1 to about 3 heteroatoms selected from the group consisting of N, O, and S; R is oxygen or absent; Z1 is substituted alkylidene; Z2 is nitrogen, oxygen, sulfur, substituted alkylidene, substituted amine; Z3 is nitrogen, oxygen, sulfur, sulfoxide, sulfone, substituted alkylidene; Z4 and Z5 are independently substituted alkylidene or substituted amine, that act as selective modulators of CRF-1 receptors are provided. These compds. are useful in the treatment of a number of CNS and peripheral disorders, particularly stress, anxiety, depression, cardiovascular disorders, and eating disorders. Methods of treatment of such disorders and well as packaged pharmaceutical compns. are also provided. Compds. of the invention are also useful as probes for the localization of CRF receptors and as stds. in assays for CRF receptor binding. Methods of using the compds. in receptor localization studies are given. Thus, pyrrolo-pyrazine II was prepared and tested as selective modulators of CRF-1 receptors. This method includes inhibiting the binding of CRF to CRF receptors in vivo, e.g., in a patient given an amount of I that would be sufficient to inhibit the binding of CRF to CRF receptors in vitro. Compds. of the invention are useful in treating a variety of conditions including affective disorders, anxiety disorders, stress disorders, eating disorders, and drug addiction. Affective disorders include all types of depression, bipolar disorder, cyclothymia, and dysthymia. Anxiety disorders include generalized anxiety disorder, panic, phobias and obsessive-compulsive disorder. Stress-related disorders include post-traumatic stress disorder, hemorrhagic stress, stress-induced psychotic episodes, psychosocial dwarfism, stress headaches, stress-induced immune systems disorders such as stress-induced fever, and stress-related sleep disorders. Eating disorders include anorexia nervosa, bulimia nervosa, and obesity. The most preferred compds. of the invention are suitable for pharmaceutical use in treating human patients. Preferably, administration of such preferred compds. of the invention at certain doses (i.e., doses yielding therapeutically effective in vivo concns. or preferably doses of 10, 50, 100, 150, or 200 mg/kg administered parenterally or preferably orally) does not result in prolongation of heart QT intervals.

IT 34552-13-1P 55933-92-1P 848365-58-2P
848366-55-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

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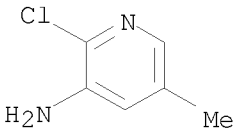
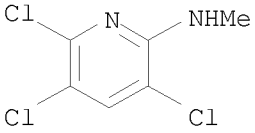
stn

(preparation of heteroaryl fused pyridines, pyrazines, and pyrimidines as CRF-1 receptor ligands)

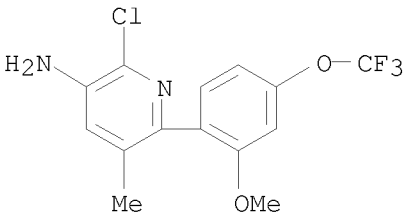
RN 34552-13-1 HCAPLUS
CN 3-Pyridinamine, 2-chloro-5-methyl- (CA INDEX NAME)



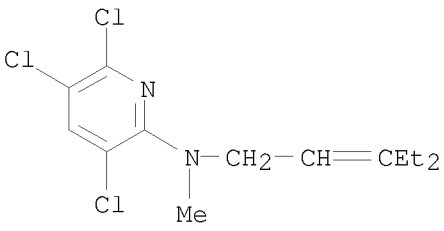
RN 55933-92-1 HCAPLUS
CN 2-Pyridinamine, 3,5,6-trichloro-N-methyl- (CA INDEX NAME)



RN 848365-58-2 HCAPLUS
CN 3-Pyridinamine, 2-chloro-6-[2-methoxy-4-(trifluoromethoxy)phenyl]-5-methyl- (CA INDEX NAME)



RN 848366-55-2 HCAPLUS
CN 2-Pyridinamine, 3,5,6-trichloro-N-(3-ethyl-2-penten-1-yl)-N-methyl- (CA INDEX NAME)



L9 ANSWER 10 OF 27 HCAPLUS COPYRIGHT 2008 ACS on STN

Updated Search

stn

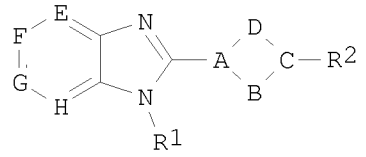
ACCESSION NUMBER: 2004:354920 HCAPLUS
DOCUMENT NUMBER: 140:375171
TITLE: Preparation of benzimidazoles as vanilloid receptor ligands
INVENTOR(S): Balan, Chenera; Bo, Yunxin; Dominguez, Celia; Fotsch, Christopher H.; Gore, Vijay K.; Ma, Vu Van; Norman, Mark H.; Ognyanov, Vassil I.; Qian, Yi-xin; Wang, Xianghong; Xi, Ning; Xu, Shimin
PATENT ASSIGNEE(S): Amgen Inc., USA
SOURCE: PCT Int. Appl., 259 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004035549	A1	20040429	WO 2003-US32823		20031016
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2501539	A1	20040429	CA 2003-2501539		20031016
AU 2003301436	A1	20040504	AU 2003-301436		20031016
US 20040152690	A1	20040805	US 2003-688246		20031016
EP 1551811	A1	20050713	EP 2003-809075		20031016
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
JP 2006505570	T	20060216	JP 2004-545382		20031016
MX 2005PA03948	A	20050617	MX 2005-PA3948		20050413
AU 2008202257	A1	20080612	AU 2008-202257		20080521
PRIORITY APPLN. INFO.:			US 2002-419791P	P	20021017
			AU 2003-301436	A3	20031016
			WO 2003-US32823	W	20031016

OTHER SOURCE(S): MARPAT 140:375171
GI

Updated Search

stn



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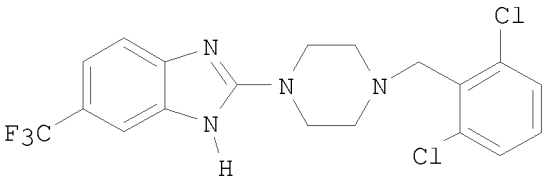

II

AB Title compds. I [wherein B, D = independently substituted un/partially/saturated C1-C3 chain, with provisos; A, C = independently N, CH and derivs. with at least one of A and C is N; E, F, G, H = independently N, CH and derivs.; R1 = H, (CH2)mR3 and derivs.; m = 0,1 or 2; R3 = independently (un)substituted un/partially/saturated 5, 6, or 7-membered monocyclic, or 6-, 7-, 8-, 9-, 10- or 11-membered bicyclic ring containing 0-4 heteroatoms selected from N, O, and S] were prepared as vanilloid receptor ligands (no data). For example, II was prepared by alkylation of piperazine with 2-chloro-6-trifluoromethyl-1H-benzimidazole(preparation given) in DMSO and reaction with 2,6-dichlorobenzyl bromide in DMF. Tests for capsaicin agonist and antagonist properties at vanilloid receptor type 1 are given (no data). I are useful in the treatment of vanilloid-receptor-mediated diseases, such as inflammatory or neuropathic pain and diseases involving sensory nerve function such as asthma, rheumatoid arthritis, osteoarthritis, inflammatory bowel disorders, urinary incontinence, migraine and psoriasis (no data).

IT 54127-29-6P, 5,6-Dichloronicotinoyl chloride 56055-54-0P , 5,6-Dichloronicotinic acid methyl ester 71690-05-6P, 5,6-Dichloropyridine-3-carboxaldehyde 75291-84-8P, 5,6-Dichloronicotinamide 120800-05-7P, 1-(5,6-Dichloropyridin-3-yl)ethanone 144598-71-0P, (5,6-Dichloropyridin-3-ylmethyl)methylamine 162327-73-3P, 5,6-Dichloro-N-methoxy-N-methylnicotinamide 202395-72-0P, 2,3-Dichloro-5-(methoxymethyl)pyridine 287714-93-6P, 5-Bromomethyl-2,3-dichloropyridine 683243-82-5P 683243-85-8P, 2-(5,6-Dichloropyridin-3-ylmethyl)isoindole-1,3-dione 683243-86-9P, N-(5,6-Dichloropyridin-3-ylmethyl)acetamide 683243-89-2P, N-(5,6-Dichloropyridin-3-ylmethyl)-N-methylacetamide 683243-92-7P, 2-(5,6-Dichloropyridin-3-yl)propan-2-ol
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of benzimidazoles as vanilloid receptor ligands)

RN 54127-29-6 HCAPLUS

CN 3-Pyridinecarbonyl chloride, 5,6-dichloro- (CA INDEX NAME)

Updated Search

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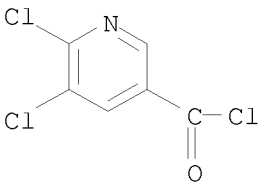
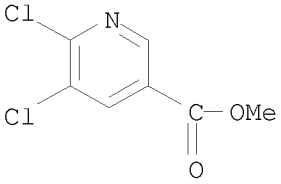
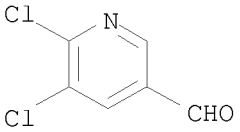


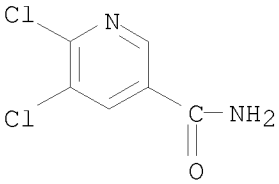
RN 56055-54-0 HCAPLUS
CN 3-Pyridinecarboxylic acid, 5,6-dichloro-, methyl ester (CA INDEX NAME)



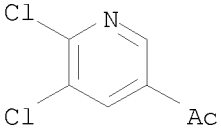
RN 71690-05-6 HCAPLUS
CN 3-Pyridinecarboxaldehyde, 5,6-dichloro- (CA INDEX NAME)



RN 75291-84-8 HCAPLUS
CN 3-Pyridinecarboxamide, 5,6-dichloro- (CA INDEX NAME)



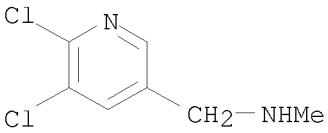
RN 120800-05-7 HCAPLUS
CN Ethanone, 1-(5,6-dichloro-3-pyridinyl)- (CA INDEX NAME)



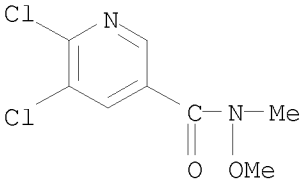
Updated Search

stn

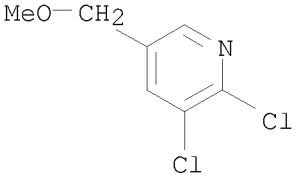
RN 144598-71-0 HCAPLUS
CN 3-Pyridinemethanamine, 5,6-dichloro-N-methyl- (CA INDEX NAME)



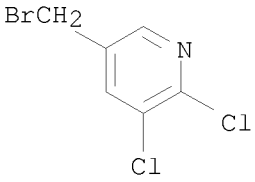
RN 162327-73-3 HCAPLUS
CN 3-Pyridinecarboxamide, 5,6-dichloro-N-methoxy-N-methyl- (CA INDEX NAME)



RN 202395-72-0 HCAPLUS
CN Pyridine, 2,3-dichloro-5-(methoxymethyl)- (CA INDEX NAME)



RN 287714-93-6 HCAPLUS
CN Pyridine, 5-(bromomethyl)-2,3-dichloro- (CA INDEX NAME)



RN 683243-82-5 HCAPLUS
CN 3-Pyridinemethanol, 5,6-dichloro-α-methyl- (CA INDEX NAME)

Updated Search

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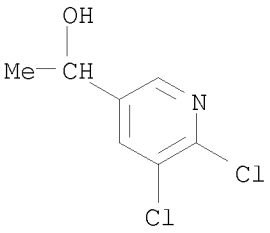
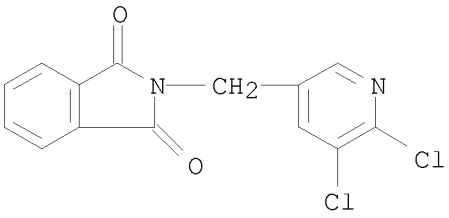
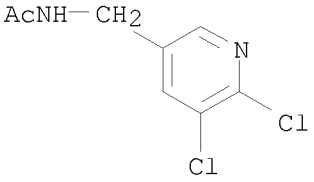


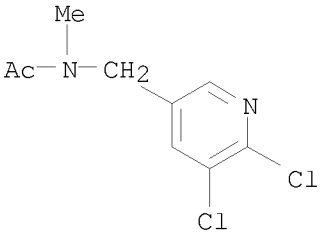
RN 683243-85-8 HCAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[(5,6-dichloro-3-pyridinyl)methyl]- (CA INDEX NAME)



RN 683243-86-9 HCAPLUS
CN Acetamide, N-[(5,6-dichloro-3-pyridinyl)methyl]- (CA INDEX NAME)



RN 683243-89-2 HCAPLUS
CN Acetamide, N-[(5,6-dichloro-3-pyridinyl)methyl]-N-methyl- (CA INDEX NAME)



RN 683243-92-7 HCAPLUS
CN 3-Pyridinemethanol, 5,6-dichloro-α,α-dimethyl- (CA INDEX NAME)

Updated Search

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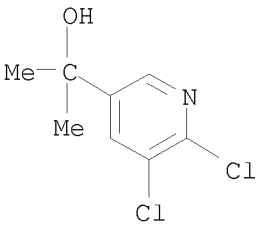
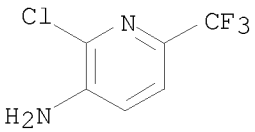


IT 117519-09-2, 3-Amino-2-chloro-6-(trifluoromethyl)pyridine
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of benzimidazoles as vanilloid receptor ligands)
RN 117519-09-2 HCAPLUS
CN 3-Pyridinamine, 2-chloro-6-(trifluoromethyl)- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 11 OF 27 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:836829 HCAPLUS
DOCUMENT NUMBER: 139:323519
TITLE: Preparation of imidazoarenes as prostaglandin E2 subtype EP4 receptor antagonists for treatment of IL-6 involved diseases
INVENTOR(S): Shimojo, Masato; Taniguchi, Kana
PATENT ASSIGNEE(S): Pfizer Pharmaceuticals Inc., Japan; Pfizer Inc.
SOURCE: PCT Int. Appl., 427 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003086371	A2	20031023	WO 2003-IB1310	20030403
WO 2003086371	A3	20040603		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

Updated Search

stn

CA 2481535	A1	20031023	CA 2003-2481535		20030403
AU 2003214525	A1	20031027	AU 2003-214525		20030403
AU 2003214525	B2	20080925			
EP 1499305	A2	20050126	EP 2003-710104		20030403
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BR 2003009200	A	20050222	BR 2003-9200		20030403
CN 1658847	A	20050824	CN 2003-813401		20030403
JP 2005533756	T	20051110	JP 2003-583392		20030403
RU 2285527	C2	20061020	RU 2004-130320		20030403
NZ 535748	A	20070629	NZ 2003-535748		20030403
CN 101023946	A	20070829	CN 2007-10084937		20030403
US 20030236260	A1	20031225	US 2003-411491		20030410
US 7148234	B2	20061212			
MX 2004PA09243	A	20050608	MX 2004-PA9243		20040923
NO 2004004462	A	20050111	NO 2004-4462		20041020
US 20070066618	A1	20070322	US 2006-556414		20061103
PRIORITY APPLN. INFO.:			US 2002-372364P	P	20020412
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			WO 2003-IB1310	W	20030403
			US 2003-411491	A3	20030410

OTHER SOURCE(S): MARPAT 139:323519
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention relates to the use of a prostaglandin E2 (PGE2) subtype EP4 receptor ligand in the manufacture of a medicament for the treatment of interleukin 6 (IL-6) involved diseases, such as alc. cirrhosis, amyloidosis, atherosclerosis, cardiac disease, sclerosis, and organ transplantation reactions (no data). The invention also relates to the assay which comprises culturing peripheral whole blood with a test compound and determining the effect of the compound on PGE2-induced whole blood cells activation. Three hundred eighty title compds. I [wherein Y1-Y4 = N, CH, CL; R1 = H, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, alkoxy, pyrrolidinyl, amino, etc.; A = (un)substituted 5-6 membered (un)substituted monocyclic (hetero)aromatic ring; B = halo-substituted alkylene, cycloalkylene, alkenylene, alkynylene, alkyleneoxy, etc., optionally substituted with an oxo or alkyl group; W = amino, O, S, bond, etc.; R2 = H, OH, alkyl, alkoxy; Z = 5-12 membered (un)substituted monocyclic or bicyclic (hetero)aryl; L = halo, alkyl, haloalkyl, OH, alkoxy, haloalkoxy, alkylthio, NO2, amino, etc.] were prepared Thus, cycloaddn. of 2-[4-[(3-amino-4,6-dimethyl-2-pyridinyl)amino]phenyl]ethanol (4-step preparation given) with propionyl chloride in toluene provided 2-[4-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]ethyl propionate, which was treated with aqueous LiOH to give the ethanol derivative (86%). Chlorination (90%) using thionyl chloride, conversion to the azide (85%), and Pd/C catalyzed hydrogenation afforded the amine (94%). Coupling of the amine with p-toluenesulfonyl isocyanate in CH2Cl2 gave II (56%). The latter significantly inhibited IL-6 secretion by PGE2 in ConA-stimulated human peripheral blood mononuclear cells (PBMC).
IT 415908-43-9P, 2-[4-[(5,6-Dichloro-3-nitro-2-pyridinyl)amino]phenyl]ethanol 415908-45-1P,

Updated Search

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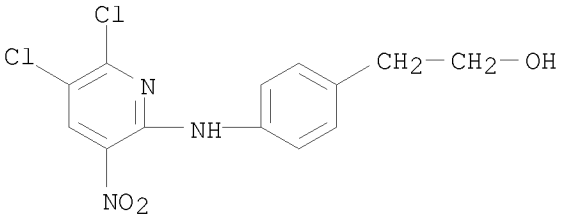
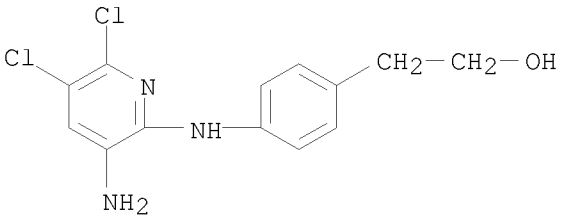
2-[4-[(3-Amino-5,6-dichloro-2-pyridinyl)amino]phenyl]ethanol
415911-46-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of imidazoarene prostaglandin EP4 receptor antagonists for treatment of IL-6 involved diseases)

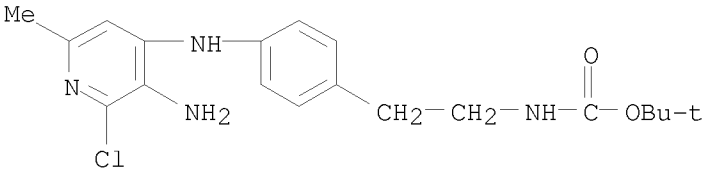
RN 415908-43-9 HCAPLUS
CN Benzeneethanol, 4-[(5,6-dichloro-3-nitro-2-pyridinyl)amino]- (CA INDEX NAME)



RN 415908-45-1 HCAPLUS
CN Benzeneethanol, 4-[(3-amino-5,6-dichloro-2-pyridinyl)amino]- (CA INDEX NAME)



RN 415911-46-5 HCAPLUS
CN Carbamic acid, [2-[4-[(3-amino-2-chloro-6-methyl-4-pyridinyl)amino]phenyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L9 ANSWER 12 OF 27 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:706542 HCAPLUS
DOCUMENT NUMBER: 137:353202
TITLE: Synthesis, Nicotinic Acetylcholine Receptor Binding, and Antinociceptive Properties of

Updated Search

stn

	2-exo-2-(2',3'-Disubstituted 5'-pyridinyl)-7-azabicyclo[2.2.1]heptanes: Epibatidine Analogues
AUTHOR(S):	Carroll, F. Ivy; Lee, Jeffrey R.; Navarro, Hernan A.; Ma, Wei; Brieaddy, Lawrence E.; Abraham, Philip; Damaj, M. I.; Martin, Billy R.
CORPORATE SOURCE:	Chemistry and Life Sciences, Research Triangle Institute, Research Triangle Park, NC, 27709, USA
SOURCE:	Journal of Medicinal Chemistry (2002), 45(21), 4755-4761 CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER:	American Chemical Society
DOCUMENT TYPE:	Journal
LANGUAGE:	English
OTHER SOURCE(S):	CASREACT 137:353202

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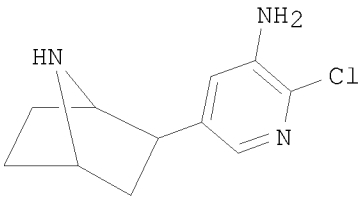


I

AB A number of 2',3'-disubstituted epibatidine analogs were synthesized and evaluated in vitro for potency at nicotinic acetylcholine receptors (nAChRs) and in vivo for antinociception activity in the tail-flick and hot-plate models of acute pain and for their ability to affect core body temperature Compds. that possessed electron-withdrawing groups (F, Cl, Br, and I) in both the 2'- and the 3'-positions showed affinities at the nAChR similar to epibatidine. However, in vivo efficacy did not correlate with affinity. 2-Exo-(3'-Amino-2'-chloro-5'-pyridinyl)-7-azabicyclo[2.2.1]heptane (I), an epibatidine analog possessing an electron-releasing amino group in the 3'-position, produced the highest affinity. Compound I was also the most selective epibatidine analog with a Ki of 0.001 nM at αβ nAChRs, which is 26 times greater than that of epibatidine, and a αβ/α7 Ki ratio of 14 000, twice that of epibatidine. In vivo testing revealed that this compound potently inhibited nicotine-induced antinociception with AD50 values below 1 μg/kg. Surprisingly, this same compound was also an agonist at higher doses (ED50 .apprx.20 μg/kg). Thus, the addition of the 3'-amino group to epibatidine confers potent antagonist activity to the compound with little effect on agonist activity. 2,3-Disubstituted epibatidine analogs possessing a 2'-amino group combined with a 3'-bromo or 3'-iodo group showed in vitro and in vivo nAChR properties similar to nicotine.

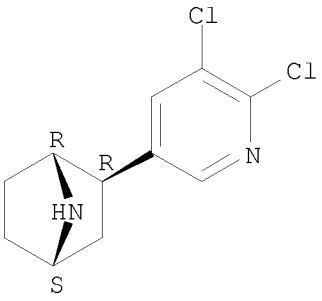
IT 426460-53-9P 426460-57-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of disubstituted pyridinyl azabicyclo heptanes as epibatidine analogs, in vitro evaluation of their affinity for nicotinic acetylcholine receptors and in vivo evaluation of their antinociceptive properties in rats)

Updated Search

stn

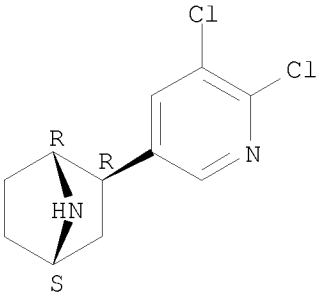
RN 426460-53-9 HCAPLUS
CN 7-Azabicyclo[2.2.1]heptane, 2-(5,6-dichloro-3-pyridinyl)-, (1R,2R,4S)-rel- (CA INDEX NAME)

Relative stereochemistry.



RN 426460-57-3 HCAPLUS
CN 7-Azabicyclo[2.2.1]heptane, 2-(5,6-dichloro-3-pyridinyl)-, hydrochloride (1:1), (1R,2R,4S)-rel- (CA INDEX NAME)

Relative stereochemistry.

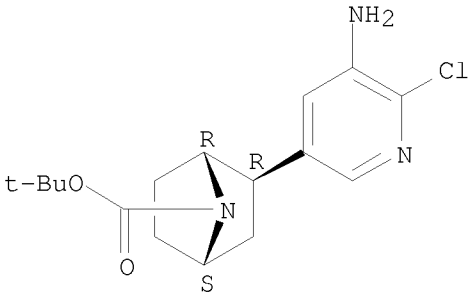


IT 426461-98-5P 426463-09-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of disubstituted pyridinyl azabicyclo heptanes as epibatidine analogs, in vitro evaluation of their affinity for nicotinic acetylcholine receptors and in vivo evaluation of their antinociceptive properties in rats)
RN 426461-98-5 HCAPLUS
CN 7-Azabicyclo[2.2.1]heptane-7-carboxylic acid, 2-(5-amino-6-chloro-3-pyridinyl)-, 1,1-dimethylethyl ester, (1R,2R,4S)-rel- (CA INDEX NAME)

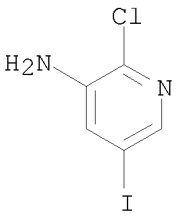
Relative stereochemistry.

Updated Search

stn



RN 426463-09-4 HCAPLUS
CN 3-Pyridinamine, 2-chloro-5-iodo- (CA INDEX NAME)



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 13 OF 27 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:368215 HCAPLUS
DOCUMENT NUMBER: 136:386020
TITLE: Preparation of pyridinylbicycloheptanes and related compounds for promoting smoking cessation and for other indications.
INVENTOR(S): Carroll, F. Ivy
PATENT ASSIGNEE(S): Research Triangle Institute, USA
SOURCE: PCT Int. Appl., 115 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002037927	A2	20020516	WO 2001-US42927	20011108
WO 2002037927	A3	20030213		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,

Updated Search

stn

	BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 6538010		B1	20030325	US 2000-708095	20001108
CA 2428223		A1	20020516	CA 2001-2428223	20011108
AU 2002032404		A	20020521	AU 2002-32404	20011108
EP 1351684		A2	20031015	EP 2001-991924	20011108
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2005500975		T	20050113	JP 2002-540529	20011108
AU 2002232404		B2	20061116	AU 2002-232404	20011108
US 20030176434		A1	20030918	US 2003-337401	20030107
US 20060069111		A1	20060330	US 2005-272492	20051114
AU 2007200154		A1	20070201	AU 2007-200154	20070115
PRIORITY APPLN. INFO.:				US 2000-708095	A 20001108
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				US 2003-337401	A1 20030107

OTHER SOURCE(S): MARPAT 136:386020
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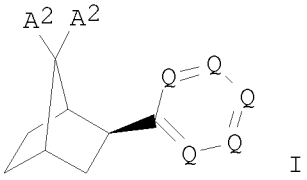


I

AB A method of training a smoker to quit smoking comprises administration of title compds. [I; A1, A2 = H, OH, NRC(:NR)NR2, NR2; A1A2 = O, :NOR, :NR, ONR, NRNR; Q = CX, N; ≥1 Q = N, ≥1 Q = CX; X = H, halo, alkenyl, alkynyl, aryl, aralkyl, OH, CH2CO2R, COR, NR2, SO2CF3, NO2, N3, cyano, CF3, etc.; R = H, alkyl, alkenyl, alkynyl, aryl, aralkyl]. Thus, 7-tert-butoxycarbonyl-7-azabicyclo[2.2.1]heptene, 2-fluoro-5-iodopyridine, Bu4NCl, KO2CH, and Pd(OAc)2 were stirred 4 days in DMF to give 51% 7-tert-butoxycarbonyl-2-exo-[5-(2-fluoropyridinyl)]-7-azabicyclo[2.2.1]heptane. This was stirred with CF3CO2H in CH2Cl2 to give 66% 2-exo-[5-(2-fluoropyridinyl)]-7-azabicyclo[2.2.1]heptane. In an α4β2 nAChR-epibatidine binding assay using rat cerebral cortex homogenate, tested compds. showed IC50 = 0.005 nM to >1000 nM.

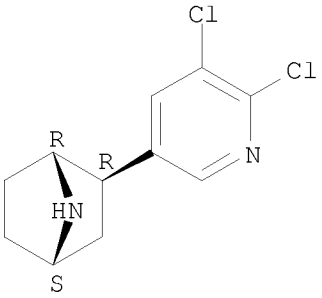
IT 426460-53-9P 426460-57-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyridinylbicycloheptanes and related compds. for promoting smoking cessation and for other indications)

RN 426460-53-9 HCAPLUS
CN 7-Azabicyclo[2.2.1]heptane, 2-(5,6-dichloro-3-pyridinyl)-, (1R,2R,4S)-rel- (CA INDEX NAME)

Relative stereochemistry.

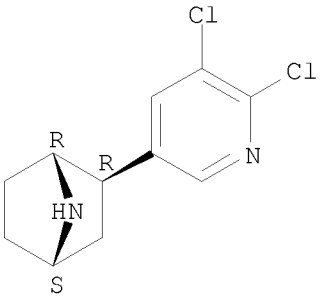
Updated Search

stn



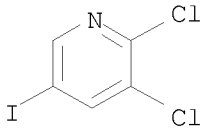
RN 426460-57-3 HCAPLUS
CN 7-Azabicyclo[2.2.1]heptane, 2-(5,6-dichloro-3-pyridinyl)-, hydrochloride (1:1), (1R,2R,4S)-rel- (CA INDEX NAME)

Relative stereochemistry.



● HCl

IT 97966-01-3P 426461-98-5P 426463-09-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyridinylbicycloheptanes and related compds. for promoting smoking cessation and for other indications)
RN 97966-01-3 HCAPLUS
CN Pyridine, 2,3-dichloro-5-iodo- (CA INDEX NAME)

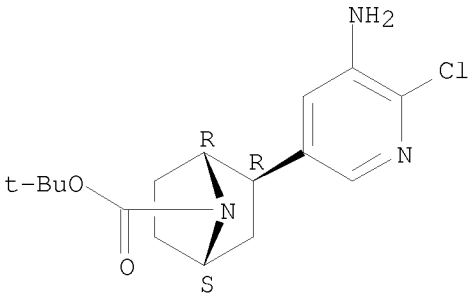


RN 426461-98-5 HCAPLUS
CN 7-Azabicyclo[2.2.1]heptane-7-carboxylic acid, 2-(5-amino-6-chloro-3-pyridinyl)-, 1,1-dimethylethyl ester, (1R,2R,4S)-rel- (CA INDEX NAME)

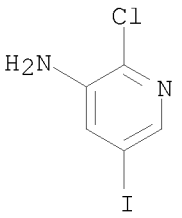
Updated Search

stn

Relative stereochemistry.



RN 426463-09-4 HCAPLUS
CN 3-Pyridinamine, 2-chloro-5-iodo- (CA INDEX NAME)



L9 ANSWER 14 OF 27 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:314939 HCAPLUS
DOCUMENT NUMBER: 136:340677
TITLE: Preparation of imidazoarenes as antiinflammatory and analgesic agents.
INVENTOR(S): Nakao, Kazunari; Okumura, Yoshiyuki; Matsumizu, Miyako; Uneo, Naomi; Hashizume, Yoshinobu; Kato, Tomoki; Kawai, Akiyoshi; Miyake, Yoriko; Nukui, Seiji; Shinjyo, Katsuhiro; Taniguchi, Kana
PATENT ASSIGNEE(S): Pfizer Pharmaceuticals Inc., Japan; Pfizer Inc.
SOURCE: PCT Int. Appl., 461 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002032900	A2	20020425	WO 2001-IB1940	20011015
WO 2002032900	A3	20020808		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW

Updated Search

stn

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2426457	A1	20020425	CA 2001-2426457		20011015
AU 2002010796	A	20020429	AU 2002-10796		20011015
US 20020077329	A1	20020620	US 2001-977761		20011015
US 20020107273	A1	20020808	US 2001-977621		20011015
US 6710054	B2	20040323			
EP 1326864	A2	20030716	EP 2001-978702		20011015
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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
EE 200300190	A	20031015	EE 2003-190		20011015
BR 2001014704	A	20040225	BR 2001-14704		20011015
JP 2004517054	T	20040610	JP 2002-536282		20011015
JP 4060182	B2	20080312			
NZ 525163	A	20050930	NZ 2001-525163		20011015
AT 320428	T	20060415	AT 2001-978702		20011015
EP 1666480	A1	20060607	EP 2006-110920		20011015
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AU 2002210796	B2	20060810	AU 2002-210796		20011015
ES 2258554	T3	20060901	ES 2001-978702		20011015
HU 2006000593	A2	20061128	HU 2006-593		20011015
BG 107699	A	20031231	BG 2003-107699		20030403
IN 2003MN00386	A	20050211	IN 2003-MN386		20030407
NO 2003001582	A	20030617	NO 2003-1582		20030408
ZA 2003002722	A	20040408	ZA 2003-2722		20030408
MX 2003PA03463	A	20030714	MX 2003-PA3463		20030416
ZA 2003002991	A	20040416	ZA 2003-2991		20030416
US 20040181059	A1	20040916	US 2004-771696		20040204
US 7141580	B2	20061128			
IN 2006MN00518	A	20070608	IN 2006-MN518		20060508
US 20070155732	A1	20070705	US 2006-556523		20061103
JP 2007277255	A	20071025	JP 2007-154590		20070611
PRIORITY APPLN. INFO.:			US 2000-241825P	P	20001019
			EP 2001-978702	A3	20011015
			JP 2002-536282	A3	20011015
			US 2001-977621	A3	20011015
			WO 2001-IB1940	W	20011015
			US 2004-771696	A3	20040204

OTHER SOURCE(S): MARPAT 136:340677

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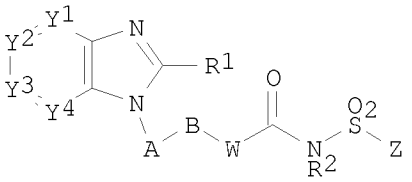


I

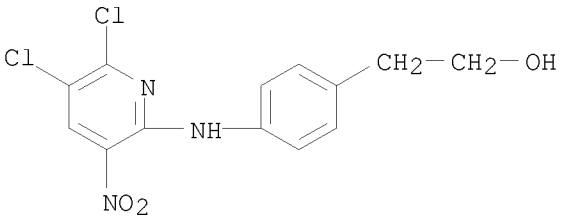
Updated Search

stn

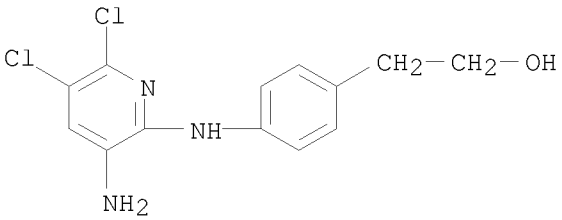
AB Title compds. [I; Y1-Y4 = N, CH, CL; R1 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, alkoxy, pyrrolidinyl, amino, etc.; A = (substituted) 5-6 membered monocyclic aromatic ring optionally containing up to 3 heteroatoms selected from O, N, S, etc.; B = halo-substituted alkylene, cycloalklylene, alkenylene, alkynylene, alkyleneoxy, etc., optionally substituted with an oxo group; W = amino, O, S, bond, etc.; R2 = H, OH, alkyl, alkoxy; Z = 5-12 membered (substituted) monocyclic or bicyclic aryl optionally containing up to 3 heteroatoms selected from O, N and S, etc.; L = halo, alkyl, haloalkyl, OH, alkoxy, haloalkoxy, alkylthio, NO2, amino, etc.], were prepared as prostaglandin E2 receptor antagonists, preferably as EP4 receptor antagonists. Thus, to 2-[4-(2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridin-3-yl)phenyl]ethylamine (preparation given) in CH2Cl2 was added p-toluenesulfonyl isocyanate followed by stirring for 3 h to give 56% 2-ethyl-5,7-dimethyl-3-[4-[2-[[[[(4-methylphenyl)sulfonyl]amino]carbonyl]amino]ethyl]phenyl]-3H-imidazo[4,5-b]pyridine. Preferred I inhibited PGE2-induced thermal hyperalgesia in rats with ED50<60 mg/kg.

IT 415908-43-9P 415908-45-1P 415911-46-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of imidazoarene prostaglandin EP4 receptor antagonists as antiinflammatory and analgesic agents)

RN 415908-43-9 HCAPLUS
CN Benzeneethanol, 4-[(5,6-dichloro-3-nitro-2-pyridinyl)amino]- (CA INDEX NAME)



RN 415908-45-1 HCAPLUS
CN Benzeneethanol, 4-[(3-amino-5,6-dichloro-2-pyridinyl)amino]- (CA INDEX NAME)

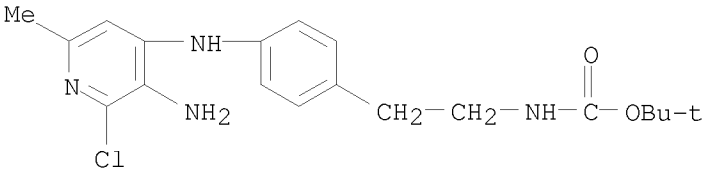


RN 415911-46-5 HCAPLUS
CN Carbamic acid, [2-[4-[(3-amino-2-chloro-6-methyl-4-pyridinyl)amino]phenyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX

Updated Search

stn

NAME)



L9 ANSWER 15 OF 27 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:314767 HCAPLUS
DOCUMENT NUMBER: 136:340676
TITLE: Preparation of benzimidazole derivatives as prostaglandin EP4 receptor inhibitors to treat rheumatoid arthritis
INVENTOR(S): Audoly, Laurent; Okumura, Takako; Shimojo, Masato
PATENT ASSIGNEE(S): Pfizer Pharmaceuticals Inc., Japan; Pfizer Inc.
SOURCE: PCT Int. Appl., 468 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002032422	A2	20020425	WO 2001-IB1942	20011015
WO 2002032422	A3	20020725		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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AU 2001094122	A	20020429	AU 2001-94122	20011015
US 20020077329	A1	20020620	US 2001-977761	20011015
US 20020107273	A1	20020808	US 2001-977621	20011015
US 6710054	B2	20040323		
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EP 1326606	A2	20030716	EP 2001-974609	20011015
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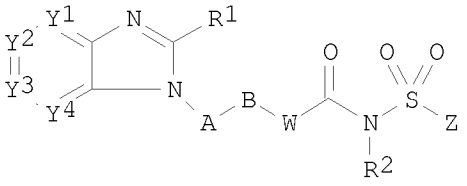
JP 2004511518	T	20040415	JP 2002-535660	20011015
HU 2003003766	A2	20040428	HU 2003-3766	20011015
HU 2003003766	A3	20050728		
AT 320428	T	20060415	AT 2001-978702	20011015
EP 1666480	A1	20060607	EP 2006-110920	20011015
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

Updated Search

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
PT 1326864	T	20060731	PT 2001-978702		20011015
ES 2258554	T3	20060901	ES 2001-978702		20011015
ZA 2003002722	A	20040408	ZA 2003-2722		20030408
NO 2003001658	A	20030610	NO 2003-1658		20030410
MX 2003PA03448	A	20030714	MX 2003-PA3448		20030416
BG 107732	A	20040130	BG 2003-107732		20030416
ZA 2003002991	A	20040416	ZA 2003-2991		20030416
US 20040181059	A1	20040916	US 2004-771696		20040204
US 7141580	B2	20061128			
US 20070155732	A1	20070705	US 2006-556523		20061103
JP 2007277255	A	20071025	JP 2007-154590		20070611
PRIORITY APPLN. INFO.:			US 2000-241825P	P	20001019
			EP 2001-978702	A3	20011015
			JP 2002-536282	A3	20011015
			US 2001-977621	A3	20011015
			WO 2001-IB1942	W	20011015
			US 2004-771696	A3	20040204

OTHER SOURCE(S): MARPAT 136:340676

GI



I

AB Benzimidazole derivs. I wherein Y1-Y4 are independently N, CH, alkyl, alkoxy, haloalkyl, halo, substituted alkyl, R1 is H, alkyl, alkenyl, alkynyl, cycloalkyl, alkoxy, haloalkoxy, heterocycle; R2 is H, alkyl, alkoxy, OH; A is substituted heterocycle arom ring; B is haloalkylene, cycloalkylene, alkenylene, alkynylene, oxyalkylene; W is NH, aminoalkyl, O, S, oxime, covalent bond; Z is monocyclic and bicyclic aromatic heterocycle, were prepared as prostaglandin EP4 receptor inhibitors to treat rheumatoid arthritis of rats and human. Also featured is a method of identifying agents that selectively inhibit EP4 activity in vivo. Thus, 3-(4-{2[({[(3,4-dichlorophenyl)sulfonyl]amino}carbonyl)amino]ethyl}phenyl)-2-ethyl-5,7-dimethyl-3H-imidazo[4,5-b]pyridine, hydrochloride was prepared and tested in vivo as an agent selectively inhibiting EP4 activity or selectively binding EP4; and measuring joint inflammation, joint swelling, joint ankylosis, interleukin (IL)-6, SAA protein, and/or joint mobility.

IT 415908-43-9P, 2-[4-[(5,6-Dichloro-3-nitro-2-pyridinyl)amino]phenyl]ethanol 415908-45-1P, 2-[4-[(3-Amino-5,6-dichloro-2-pyridinyl)amino]phenyl]ethanol 415911-46-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzimidazole derivs. as prostaglandin ep receptor inhibitors to treat rheumatoid arthritis)

RN 415908-43-9 HCAPLUS

CN Benzeneethanol, 4-[(5,6-dichloro-3-nitro-2-pyridinyl)amino]- (CA INDEX NAME)

Updated Search

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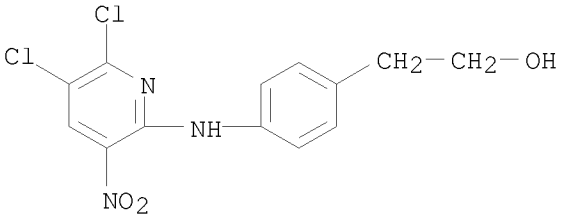
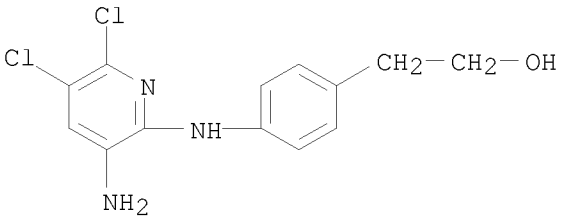
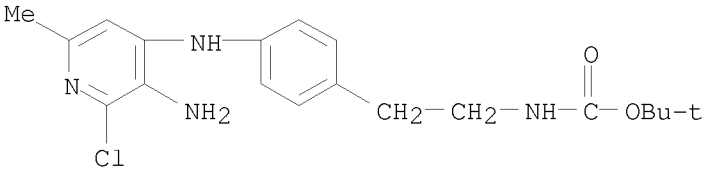


RN 415908-45-1 HCAPLUS
CN Benzeneethanol, 4-[(3-amino-5,6-dichloro-2-pyridinyl)amino]- (CA INDEX NAME)



RN 415911-46-5 HCAPLUS
CN Carbamic acid, [2-[4-[(3-amino-2-chloro-6-methyl-4-pyridinyl)amino]phenyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

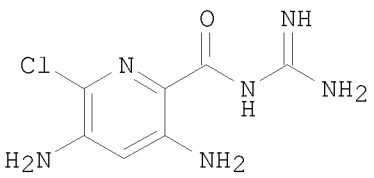


L9 ANSWER 16 OF 27 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:251267 HCAPLUS
DOCUMENT NUMBER: 137:279063
TITLE: Synthesis and biological evaluation of aroylguanidines related to amiloride as inhibitors of the human platelet Na+/H+ exchanger
AUTHOR(S): Laeckmann, Didier; Rogister, Francoise; Dejardin, Jean-Victor; Prosperi-Meys, Christelle; Geczy, Joseph; Delarge, Jacques; Masereel, Bernard
CORPORATE SOURCE: Natural and Synthetic Drugs Research Center, Department of Medicinal Chemistry, CHU, Universite de Liege, Liege, B-4000, Belg.
SOURCE: Bioorganic & Medicinal Chemistry (2002), 10(6), 1793-1804

Updated Search

stn

CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:279063
GI



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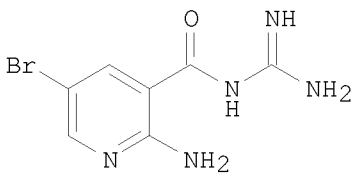
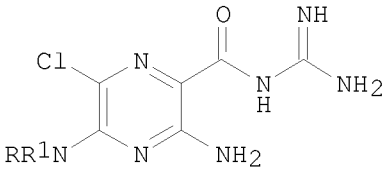


II



III

AB Pyridine and benzene bioisosteres of amiloride such as I and II were synthesized and evaluated for their inhibitory potency against the sodium-hydrogen exchanger involved in intracellular pH regulation. Substituted diaminochloro-2-pyridinecarbonyl and diaminochloro-3-pyridinecarbonyl guanidines are prepared from 2-chloro-6-methyl-3,5-dinitropyridine and 2-methyl-1,5-pentanedinitrile, resp. Dichloro- and trichloropyridine-3-carbonyl guanidines, and simple pyridinecarbonyl and benzoyl guanidines are also prepared Several benzene derivs. and compds. bearing an carbonylguanidine moiety in the meta position of the pyridine nitrogen were much more potent than amiloride, but less so than the pyrazine inhibitor III (R = Et; R1 = Me2CH). II is the most active mol. in assays measuring the reduction in human platelet swelling due to sodium ion uptake and in assays of the inhibition of sodium ion uptake, with IC50 values of 0.8 μM in both assays. Replacement of the pyrazine ring of amiloride III (R = R1 = H) by a pyridine or a Ph ring improved the inhibitory potency for the sodium-hydrogen exchanger involved in intracellular pH regulation in the order Ph > pyridine > pyrazine.
IT 465513-38-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of benzene and pyridine isosteres of amiloride as selective inhibitors of the human platelet Na+/H+ exchanger involved in the regulation of intracellular pH)
RN 465513-38-6 HCAPLUS
CN 3-Pyridinecarboxamide, N-(aminoiminomethyl)-2,5,6-trichloro- (CA INDEX NAME)

Updated Search

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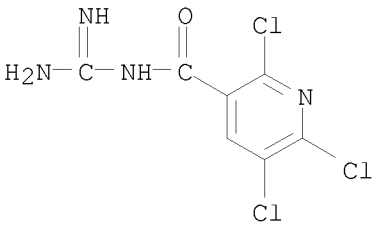
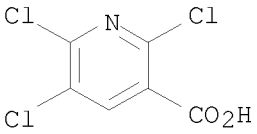
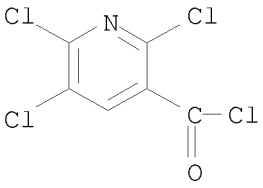


IT 54718-39-7P 58584-88-6P 58584-95-5P
142266-62-4P 339364-12-4P 465513-08-0P
465513-12-6P 465513-13-7P 465513-26-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzene and pyridine isosteres of amiloride as selective inhibitors of the human platelet Na+/H+ exchanger involved in the regulation of intracellular pH)

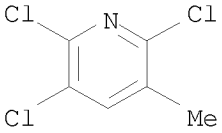
RN 54718-39-7 HCAPLUS
CN 3-Pyridinecarboxylic acid, 2,5,6-trichloro- (CA INDEX NAME)



RN 58584-88-6 HCAPLUS
CN 3-Pyridinecarbonyl chloride, 2,5,6-trichloro- (CA INDEX NAME)



RN 58584-95-5 HCAPLUS
CN Pyridine, 2,3,6-trichloro-5-methyl- (CA INDEX NAME)



RN 142266-62-4 HCAPLUS
CN 3-Pyridinecarboxamide, 2,5,6-trichloro- (CA INDEX NAME)

Updated Search

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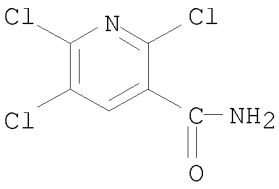
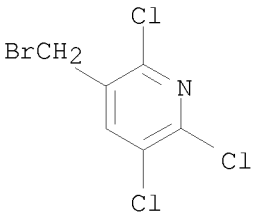
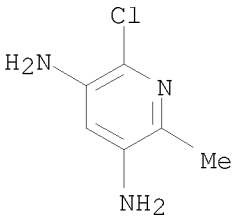


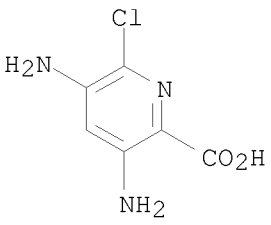
RN 339364-12-4 HCAPLUS
CN Pyridine, 3-(bromomethyl)-2,5,6-trichloro- (CA INDEX NAME)



RN 465513-08-0 HCAPLUS
CN 3,5-Pyridinediamine, 2-chloro-6-methyl- (CA INDEX NAME)



RN 465513-12-6 HCAPLUS
CN 2-Pyridinecarboxylic acid, 3,5-diamino-6-chloro- (CA INDEX NAME)



RN 465513-13-7 HCAPLUS
CN 2-Pyridinecarboxylic acid, 3,5-diamino-6-chloro-, 3-[(1,1-dimethylethyl)amino]-1-methyl-3-oxo-1-propen-1-yl ester (CA INDEX NAME)

Updated Search

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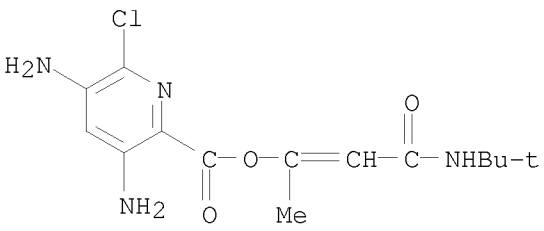
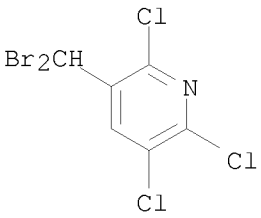


RN 465513-26-2 HCAPLUS
CN Pyridine, 2,3,6-trichloro-5-(dibromomethyl)- (CA INDEX NAME)



REFERENCE COUNT: 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 17 OF 27 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:78383 HCAPLUS
DOCUMENT NUMBER: 134:163059
TITLE: Substituted piperazinone derivatives and other oxoazaheterocyclyl compounds useful as factor Xa/IIa inhibitors
INVENTOR(S): Ewing, William R.; Becker, Michael R.; Choi-Sledeski, Yong Mi; Pauls, Heinz W.; He, Wei; Condon, Stephen M.; Davis, Roderick S.; Hanney, Barbara A.; Spada, Alfred P.; Burns, Christopher J.; Jiang, John Z.; Li, Aiwen; Myers, Michael R.; Lau, Wan F.; Poli, Gregory B.
PATENT ASSIGNEE(S): Aventis Pharmaceuticals Products Inc., USA
SOURCE: PCT Int. Appl., 460 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001007436	A2	20010201	WO 2000-IB1156	20000726
WO 2001007436	A3	20010823		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD,

Updated Search

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SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

CA 2382755	A1	20010201	CA 2000-2382755		20000726
BR 2000013179	A	20020402	BR 2000-13179		20000726
EP 1208097	A2	20020529	EP 2000-951781		20000726
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TR 200200225	T2	20020621	TR 2002-225		20000726
HU 2002003375	A2	20021228	HU 2002-3375		20000726
HU 2002003375	A3	20050329			
JP 2003508353	T	20030304	JP 2001-512520		20000726
EE 200200045	A	20030616	EE 2002-45		20000726
AU 773227	B2	20040520	AU 2000-64628		20000726
NO 2002000214	A	20020402	NO 2002-214		20020115
BG 106340	A	20021031	BG 2002-106340		20020122
ZA 2002000543	A	20030623	ZA 2002-543		20020122
MX 2002PA00888	A	20020730	MX 2002-PA888		20020125
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OTHER SOURCE(S): MARPAT 134:163059

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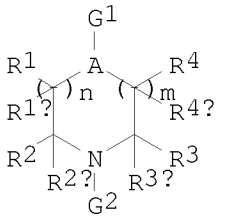

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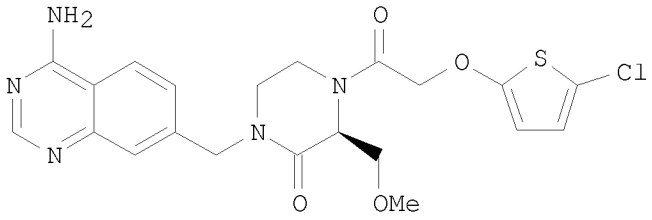


II

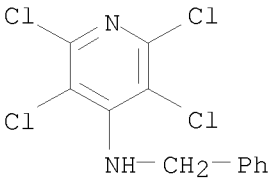
AB The invention is directed to piperazinones I and their pharmaceutically acceptable salts, prodrugs, N-oxides, hydrates, and solvates [wherein A = CH or N; G1 and G2 = L1Cy1 or L2Cy2; Cy1 and Cy2 = (un)substituted aryl, heteroaryl, cycloalkyl, cycloalkenyl, heterocyclyl, etc.; L1 = null, O, S, SO, SO2, or (un)substituted sulfamoyl, methylene, (alkyl)keto(alkyl), carbamoyl, etc.; L2 = null or linking group; R1, R1a, R2, R2a, R3, R3a, R4, R4a = independently H, carboxy, alkoxycarbonyl, alkyl, (hetero)aryl, aralkyl, heteroarylalkyl, etc.; m and n = independently 0-2]. The compds. inhibit factor Xa (no data) and factor IIa, and thereby the production of

Updated Search

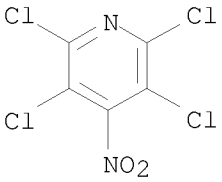
thrombin, and are thus useful as anticoagulants in the treatment of a wide variety of conditions. The invention is also directed to pharmaceutical compns., synthetic intermediates, and a method of inhibiting factor Xa. Examples include the synthesis of approx. 1600 invention compds. and several hundred intermediates. For instance, condensation of 5-chloro-2-thienyloxyacetic acid with the corresponding N-benzyloxycarbonyl-protected piperazinone derivative (prepns. given), using DIPEA and TBTU in DMF, gave II.

IT 20928-38-5P 20928-46-5P 234108-60-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of piperazinone derivs. and other substituted oxoazaheterocyclyl compds. as factor Xa/IIa inhibitors)

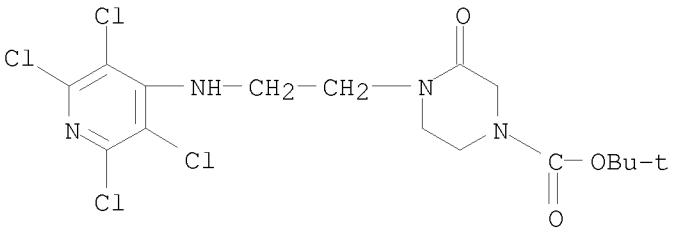
RN 20928-38-5 HCAPLUS
CN 4-Pyridinamine, 2,3,5,6-tetrachloro-N-(phenylmethyl)- (CA INDEX NAME)



RN 20928-46-5 HCAPLUS
CN Pyridine, 2,3,5,6-tetrachloro-4-nitro- (CA INDEX NAME)



RN 234108-60-2 HCAPLUS
CN 1-Piperazinecarboxylic acid, 3-oxo-4-[2-[(2,3,5,6-tetrachloro-4-pyridinyl)amino]ethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



IT 6298-19-7, 3-Amino-2-chloropyridine
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of piperazinone derivs. and other substituted oxoazaheterocyclyl compds. as factor Xa/IIa inhibitors)

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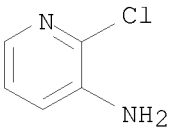
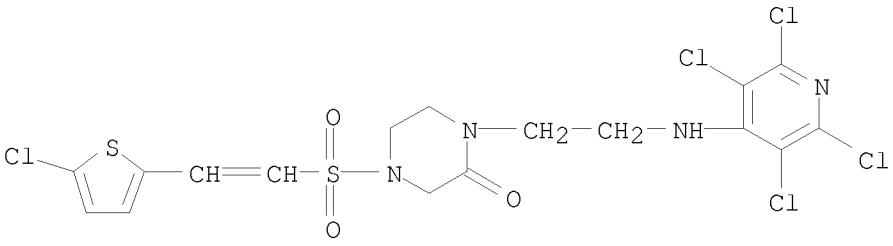
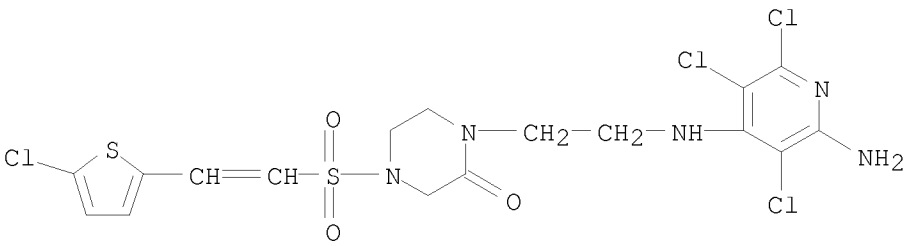
RN 6298-19-7 HCAPLUS
CN 3-Pyridinamine, 2-chloro- (CA INDEX NAME)



IT 234105-96-5P 234105-97-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compound; preparation of piperazinone derivs. and other substituted oxoazaheterocyclyl compds. as factor Xa/IIa inhibitors)
RN 234105-96-5 HCAPLUS
CN 2-Piperazinone, 4-[[2-(5-chloro-2-thienyl)ethenyl]sulfonyl]-1-[2-[(2,3,5,6-tetrachloro-4-pyridinyl)amino]ethyl]- (CA INDEX NAME)



RN 234105-97-6 HCAPLUS
CN 2-Piperazinone, 1-[2-[(2-amino-3,5,6-trichloro-4-pyridinyl)amino]ethyl]-4-[[2-(5-chloro-2-thienyl)ethenyl]sulfonyl]- (CA INDEX NAME)



L9 ANSWER 18 OF 27 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2000:384179 HCAPLUS
DOCUMENT NUMBER: 133:30741
TITLE: Substituted piperazinone derivatives and other oxoazaheterocyclyl compounds useful as factor Xa inhibitors

Updated Search

stn

INVENTOR(S): Ewing, William R.; Becker, Michael R.; Myers, Michael R.; Spada, Alfred P.
PATENT ASSIGNEE(S): Aventis Pharmaceuticals Products Inc., USA
SOURCE: PCT Int. Appl., 219 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000032590	A1	20000608	WO 1999-US28074	19991124
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
WO 9937304	A1	19990729	WO 1999-US1682	19990127
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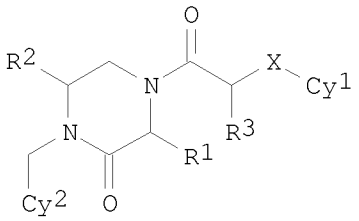
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
JP 2003529531	T	20031007	JP 2000-585232	19991124

PRIORITY APPLN. INFO.:		
US 1998-110012P	A2	19981125
WO 1999-US1682	A2	19990127
US 1999-313611	A2	19990518
US 1999-363196	A2	19990728
US 1998-72707P	A2	19980127
WO 1999-US28074	W	19991124

OTHER SOURCE(S): MARPAT 133:30741
GI

Updated Search

stn



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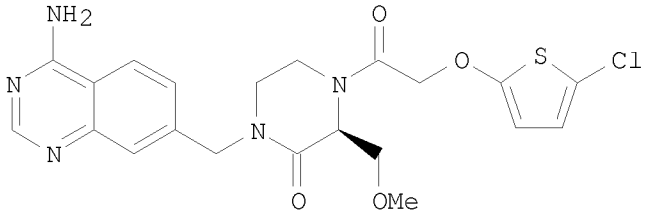


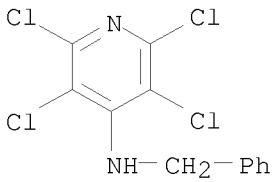
II

AB The invention is directed to piperazinones I and their pharmaceutically acceptable salts, prodrugs, N-oxides, hydrates, and solvates [wherein R1 = H, alkyl, aryl, aralkyl, heteroaryl, heteroarylalkyl, alkoxy, aminoalkyl, CH2OZ, CH(CH3)OZ; R2 = H, (un)substituted alkyl, aryl, aralkyl, heteroaryl, or heteroarylalkyl; R3 = H or Me; X = N or O; Z = lower alkyl or alkoxycarbonylalkyl; Cy1 = (un)substituted aryl, (un)substituted heteroaryl; Cy2 = (un)substituted aryl, heteroaryl, cycloalkyl, cycloalkenyl, heterocyclyl, etc.]. The compds. inhibit factor Xa (no data), and thereby the production of thrombin, and are thus useful as anticoagulants in the treatment of a wide variety of conditions. The invention is also directed to pharmaceutical compns., synthetic intermediates, and a method of inhibiting factor Xa. Examples include the synthesis of approx. 780 invention compds., approx. 50 of which are also claimed, and several hundred intermediates. For instance, condensation of 5-chloro-2-thienyloxyacetic acid with the corresponding N-benzyloxycarbonyl-protected piperazinone derivative (prepns. given), using DIPEA and TBTU in DMF, gave the preferred title compound II.

IT 20928-38-5P 20928-46-5P 234108-60-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of piperazinone derivs. and other substituted oxoazaheterocyclyl compds. as factor Xa inhibitors)

RN 20928-38-5 HCAPLUS

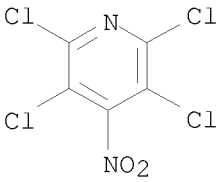
CN 4-Pyridinamine, 2,3,5,6-tetrachloro-N-(phenylmethyl)- (CA INDEX NAME)



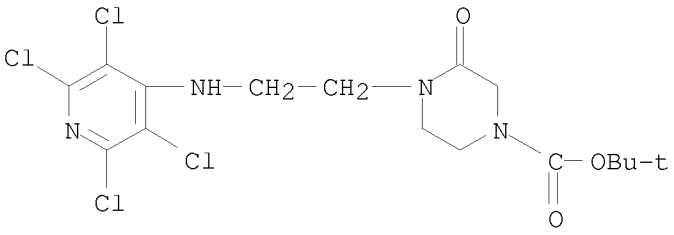
Updated Search

stn

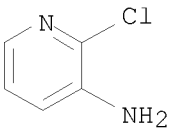
RN 20928-46-5 HCAPLUS
CN Pyridine, 2,3,5,6-tetrachloro-4-nitro- (CA INDEX NAME)



RN 234108-60-2 HCAPLUS
CN 1-Piperazinecarboxylic acid, 3-oxo-4-[2-[(2,3,5,6-tetrachloro-4-pyridinyl)amino]ethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



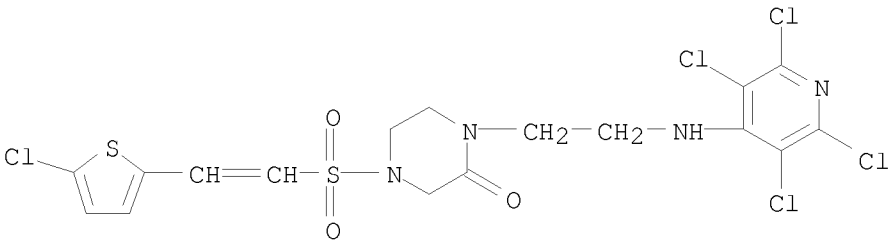
IT 6298-19-7, 3-Amino-2-chloropyridine
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of piperazinone derivs. and other substituted oxoazaheterocyclyl compds. as factor Xa inhibitors)
RN 6298-19-7 HCAPLUS
CN 3-Pyridinamine, 2-chloro- (CA INDEX NAME)



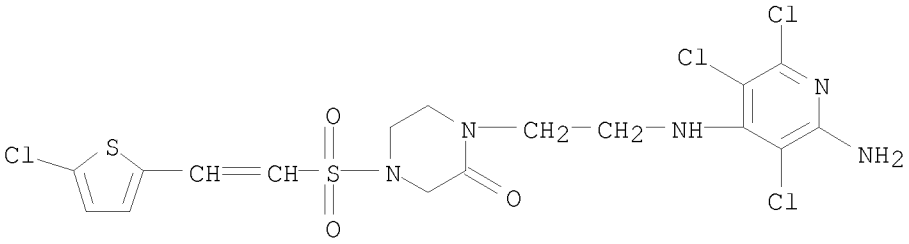
IT 234105-96-5P 234105-97-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compound; preparation of piperazinone derivs. and other substituted oxoazaheterocyclyl compds. as factor Xa inhibitors)
RN 234105-96-5 HCAPLUS
CN 2-Piperazinone, 4-[[2-(5-chloro-2-thienyl)ethenyl]sulfonyl]-1-[2-[(2,3,5,6-tetrachloro-4-pyridinyl)amino]ethyl]- (CA INDEX NAME)

Updated Search

stn



RN 234105-97-6 HCAPLUS
CN 2-Piperazinone, 1-[2-[(2-amino-3,5,6-trichloro-4-pyridinyl)amino]ethyl]-4-[[2-(5-chloro-2-thienyl)ethenyl]sulfonyl]- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 19 OF 27 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1999:487215 HCAPLUS
DOCUMENT NUMBER: 131:130007
TITLE: Substituted piperazinone derivatives and other oxoazaheterocyclyl compounds useful as factor Xa inhibitors
INVENTOR(S): Ewing, William R.; Becker, Michael R.; Choi-Sledeski, Yong Mi; Pauls, Heinz W.; He, Wei; Condon, Stephen M.; Davis, Roderick S.; Hanney, Barbara A.; Spada, Alfred P.; Burns, Christopher J.; Jiang, John Z.; Li, Aiwen; Myers, Michael R.; Lau, Wan F.; Poli, Gregory B.
PATENT ASSIGNEE(S): Rhone-Poulenc Rorer Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 300 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9937304	A1	19990729	WO 1999-US1682	19990127

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Updated Search

stn

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            FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
            CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     ZA 9900607            A     19990727    ZA 1999-607             19990127
     CA 2319198            A1    19990729    CA 1999-2319198         19990127
     AU 9926533            A     19990809    AU 1999-26533           19990127
     AU 745425             B2    20020321
     BR 9907300            A     20001024    BR 1999-7300            19990127
     EP 1051176            A1    20001115    EP 1999-906684          19990127
     EP 1051176            B1    20061122
        R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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     TR 200002182          T2    20001221    TR 2000-2182            19990127
     JP 2002501024         T     20020115    JP 2000-528286          19990127
     EE 200000435          A     20020215    EE 2000-435             19990127
     HU 2001001810         A2    20020429    HU 2001-1810            19990127
     HU 2001001810         A3    20020528
     AT 346050             T     20061215    AT 1999-906684          19990127
     WO 2000032590         A1    20000608    WO 1999-US28074         19991124
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            KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO,
            NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA,
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     JP 2003529531         T     20031007    JP 2000-585232          19991124
     NO 2000003808         A     20000926    NO 2000-3808            20000725
     BG 104633             A     20010330    BG 2000-104633          20000725
     US 20040102450        A1    20040527    US 2003-628093          20030725
PRIORITY APPLN. INFO.:                       US 1998-72707P      A2  19980127
                                             US 1998-110012P     A2  19981125
                                             WO 1999-US1682      W   19990127
                                             US 1999-313611      A2  19990518
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OTHER SOURCE(S):         MARPAT 131:130007
GI
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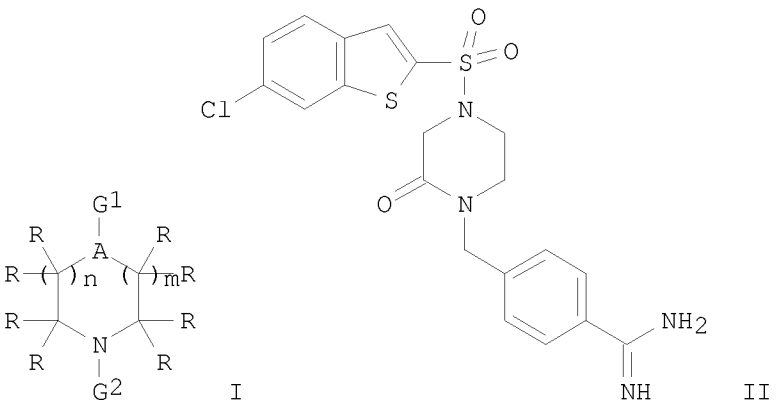
Updated Search

stn

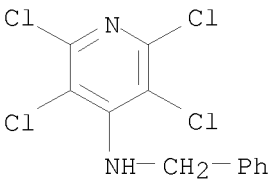


I II

AB The invention is directed to oxoazaheterocyclyl compds. I and their pharmaceutically acceptable salts, prodrugs, N-oxides, hydrates, and solvates [wherein A = CH, N; G1, G2 = (independently) -L-Cy; L = various atomic and mol. linkers, including O, (un)substituted NH or S, alk(en/yn)ylene, etc., or their combinations; Cy = (un)substituted (hetero)aryl, cycloalk(en)yl, heterocyclyl, etc.; R = (independently) H, CO2H, alkoxycarbonyl, (un)substituted carbamoyl, alkyl, (hetero)aryl, (hetero)aralkyl; or two geminal R groups = O or S; m, n = 0-2; with provisos]. The compds. inhibit factor Xa (no data), and thereby the production of thrombin, and are thus useful as anticoagulants in the treatment of a wide variety of conditions. The invention is also directed to pharmaceutical compns., synthetic intermediates, and a method of inhibiting factor Xa. Examples include the synthesis of approx. 780 compds. I, which are also claimed, and several hundred intermediates. For instance, sulfonamidation of 6-chlorobenzo[b]thiophene-2-sulfonyl chloride with 4-(2-oxopiperazin-1-ylmethyl)benzamidine bistrifluoroacetate (prepns. given) in CH2Cl2 in the presence of Et3N gave title compound II.

IT 20928-38-5P 20928-46-5P 234108-60-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of piperazinone derivs. and other substituted oxoazaheterocyclyl compds. as factor Xa inhibitors)

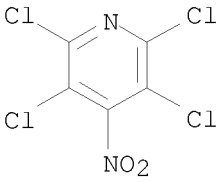
RN 20928-38-5 HCAPLUS
CN 4-Pyridinamine, 2,3,5,6-tetrachloro-N-(phenylmethyl)- (CA INDEX NAME)



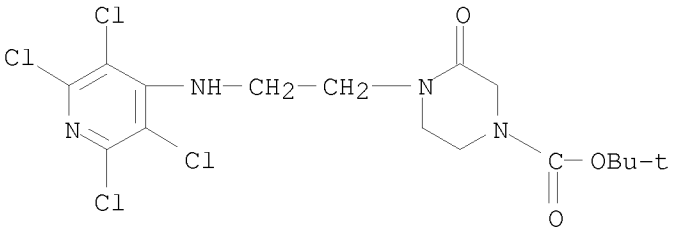
RN 20928-46-5 HCAPLUS
CN Pyridine, 2,3,5,6-tetrachloro-4-nitro- (CA INDEX NAME)

Updated Search

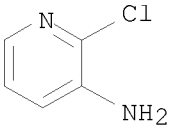
stn



RN 234108-60-2 HCAPLUS
CN 1-Piperazinecarboxylic acid, 3-oxo-4-[2-[(2,3,5,6-tetrachloro-4-pyridinyl)amino]ethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



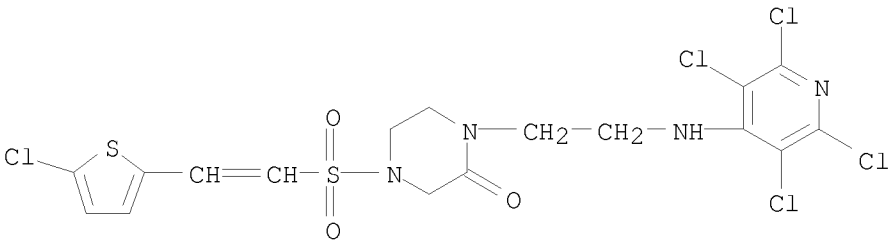
IT 6298-19-7, 3-Amino-2-chloropyridine
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of piperazinone derivs. and other substituted oxoazaheterocyclyl compds. as factor Xa inhibitors)
RN 6298-19-7 HCAPLUS
CN 3-Pyridinamine, 2-chloro- (CA INDEX NAME)



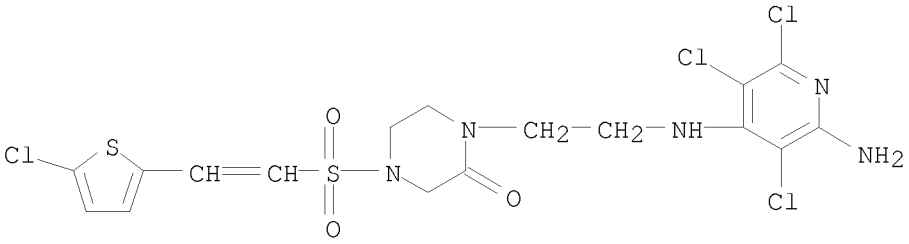
IT 234105-96-5P 234105-97-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(target compound; preparation of piperazinone derivs. and other substituted oxoazaheterocyclyl compds. as factor Xa inhibitors)
RN 234105-96-5 HCAPLUS
CN 2-Piperazinone, 4-[[2-(5-chloro-2-thienyl)ethenyl]sulfonyl]-1-[2-[(2,3,5,6-tetrachloro-4-pyridinyl)amino]ethyl]- (CA INDEX NAME)

Updated Search

stn



RN 234105-97-6 HCAPLUS
CN 2-Piperazinone, 1-[2-[(2-amino-3,5,6-trichloro-4-pyridinyl)amino]ethyl]-4-[[2-(5-chloro-2-thienyl)ethenyl]sulfonyl]- (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 20 OF 27 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1997:308346 HCAPLUS
DOCUMENT NUMBER: 126:330626
ORIGINAL REFERENCE NO.: 126:64259a,64262a
TITLE: Preparation of 8-aza-, 6-aza- and 6,8-diaza-1,4-dihydroquinoxaline-2,3-diones as antagonists for the glycine/NMDA receptor
INVENTOR(S): Cai, Sui X.; Keana, John F. W.; Weber, Eckard
PATENT ASSIGNEE(S): Oregon Health Sciences University, USA; University of California; ACEA Pharmaceuticals, Inc.
SOURCE: U.S., 37 pp., Cont.-in-part of U.S. Ser. No. 289,366, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5620978	A	19970415	US 1995-368163	19950103
CA 2180122	A1	19950713	CA 1995-2180122	19950103
IL 112235	A	20000629	IL 1995-112235	19950103
US 5863916	A	19990126	US 1997-795387	19970204
JP 2005247864	A	20050915	JP 2005-121174	20050419
PRIORITY APPLN. INFO.:			US 1994-176278 B2	19940103

Updated Search

stn

	US 1994-289366	B2 19940811
	JP 1995-518626	A3 19950103
	US 1995-368163	A3 19950103

OTHER SOURCE(S): CASREACT 126:330626; MARPAT 126:330626
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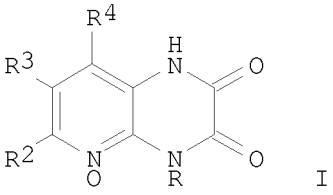
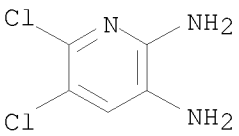


I

AB Title compds. I [R = H, OH, NH2, CH2CONHR1, NHCONHR1, NHCOCH2R1, COCH2R1, (un)esterified carboxyalkyl; R1 = aryl; R2, R3 = H, NO2, NH2, halo, haloalkyl, CN, alkyl, cycloalkyl, alkenyl, alkynyl, N3, acylamino, alkylsulfonyl, (un)substituted aryl, heteroaryl, alkoxy, trialkylsilyl-substituted alkoxy, (un)substituted aryloxy, heteroaryloxy, heterocyclic, heterocyclyloxy, aralkoxy, haloalkoxy; R4 = H, F] were prepared These compds. have high binding to the glycine site of the NMDA receptor and are useful in treating or preventing neuronal loss associated with stroke, ischemia, CNS trauma or hypoglycemia. Thus, 2-amino-5-chloropyridine was nitrated, reduced to the diamine, cyclized with oxalic acid, and oxidized to give I [R, R2, R4 = H, R3 = Cl, II]. II had a ki of 600 nM for glycine/NMDA receptor binding and an anticonvulsant ED50 of 1-1.5 mg/kg in mice.

IT 97941-89-4P 101079-63-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of azaquinoxalinediones as NMDA receptor antagonists)

RN 97941-89-4 HCAPLUS
CN 2,3-Pyridinediamine, 5,6-dichloro- (CA INDEX NAME)



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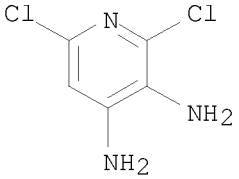
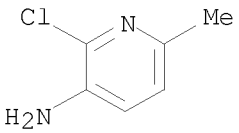


Updated Search

stn

L9 ANSWER 21 OF 27 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1996:754788 HCAPLUS
DOCUMENT NUMBER: 126:47080
ORIGINAL REFERENCE NO.: 126:9281a,9284a
TITLE: Synthesis of dihalopicoline N-oxides and their 4-nitro derivatives
AUTHOR(S): Ciurla, H.; Puszko, A.
CORPORATE SOURCE: Russia
SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1996), (10), 1366-1371
CODEN: KGSSAQ; ISSN: 0132-6244
PUBLISHER: Latviiskii Institut Organicheskogo Sinteza
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 126:47080
AB Three aminohalo-substituted α- and β-picolines, six dihalo-substituted α- and β-picolines, six dihalo-substituted α- and β-picoline N-oxides, and six dihalo-4-nitropicoline N-oxides were synthesized in excellent yields. Some properties of the products were reported.
IT 39745-40-9P, 3-Pyridinamine, 2-chloro-6-methyl-
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and diazotization-halogenation of)
RN 39745-40-9 HCAPLUS
CN 3-Pyridinamine, 2-chloro-6-methyl- (CA INDEX NAME)



IT 185017-75-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and nitration of)
RN 185017-75-8 HCAPLUS
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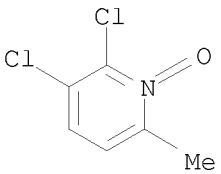

IT 54957-86-7P, Pyridine, 2,3-dichloro-6-methyl-
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and oxidation of)

Updated Search

stn

RN 54957-86-7 HCAPLUS
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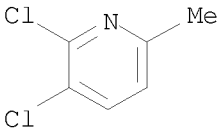
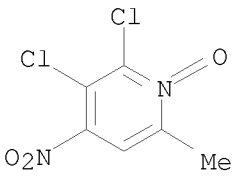
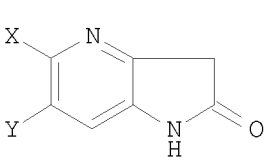


IT 185017-81-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 185017-81-6 HCAPLUS
CN Pyridine, 2,3-dichloro-6-methyl-4-nitro-, 1-oxide (CA INDEX NAME)



L9 ANSWER 22 OF 27 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1996:331979 HCAPLUS
DOCUMENT NUMBER: 125:58447
ORIGINAL REFERENCE NO.: 125:11237a,11240a
TITLE: Synthesis of substituted azaoxindoles for the preparation of aza-tenidap analogs
AUTHOR(S): Robinson, Ralph P.; Donahue, Kathleen M.; Son, Paul S.; Wagy, Steven D.
CORPORATE SOURCE: Central Research Division, Pfizer Inc., Groton, CT, 06340, USA
SOURCE: Journal of Heterocyclic Chemistry (1996), 33(2), 287-293
CODEN: JHTCAD; ISSN: 0022-152X
PUBLISHER: HeteroCorporation
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



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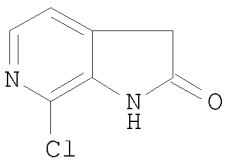


II

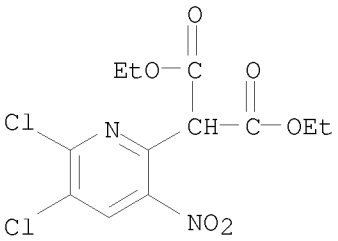
Updated Search

stn

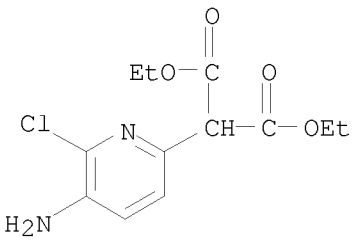
AB The preparation of azaoxindoles, i.e., 2H-pyrrolo[3,2-b]pyridin-2-ones I (X = H, halo, methoxy, etc.; Y = H, halo, CF3) bearing substituents on the aromatic nucleus was outlined. These compds. were required for the preparation of aza-analogs of the antiinflammatory oxindole tenidap. Two methods of synthesis were used, the first involving the addition of malonate to 2-chloro-3-nitropyridine derivs. followed by nitro group reduction and one-pot cyclization/hydrolysis/decarboxylation. The second method, utilizing the vicarious nucleophilic substitution (VNS) reaction of nitropyridine derivs. (followed by nitro group reduction and one-pot cyclization/hydrolysis), constitutes a novel route to azaoxindoles. Also prepared was 7-chloro-1,3-dihydro-2H-pyrrolo[2,3-c]pyridin-2-one (II).

IT 136888-27-2P 136888-76-1P 136888-78-3P
178393-18-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyrrolo[3,2-b]pyridinones as intermediates for tenidap analogs)

RN 136888-27-2 HCAPLUS
CN Propanedioic acid, 2-(5,6-dichloro-3-nitro-2-pyridinyl)-, 1,3-diethyl ester (CA INDEX NAME)



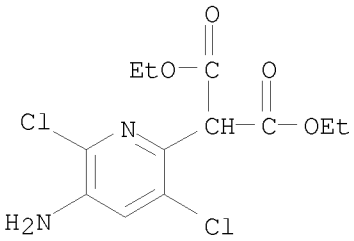
RN 136888-76-1 HCAPLUS
CN Propanedioic acid, 2-(5-amino-6-chloro-2-pyridinyl)-, 1,3-diethyl ester (CA INDEX NAME)



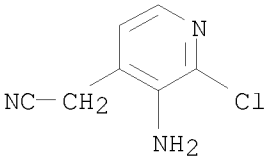
RN 136888-78-3 HCAPLUS
CN Propanedioic acid, 2-(5-amino-3,6-dichloro-2-pyridinyl)-, 1,3-diethyl ester (CA INDEX NAME)

Updated Search

stn



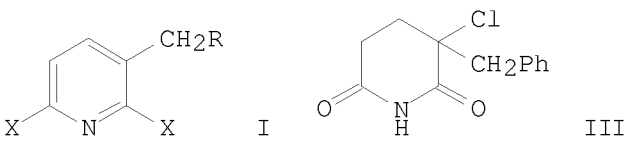
RN 178393-18-5 HCAPLUS
CN 4-Pyridineacetonitrile, 3-amino-2-chloro- (CA INDEX NAME)



L9 ANSWER 23 OF 27 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1989:38894 HCAPLUS
DOCUMENT NUMBER: 110:38894
ORIGINAL REFERENCE NO.: 110:6475a,6478a
TITLE: Preparation of 2,6-dihalo-3-(arylmethyl)pyridines as dye intermediates
INVENTOR(S): Weis, Claus D.; Sutter, Peter
PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
SOURCE: Eur. Pat. Appl., 17 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 272221	A2	19880622	EP 1987-810753	19871214
EP 272221	A3	19890104		
R: CH, DE, FR, GB, LI				
US 4897484	A	19900130	US 1987-130486	19871209
JP 63165366	A	19880708	JP 1987-319105	19871218
PRIORITY APPLN. INFO.:			CH 1986-5096 A	19861219
OTHER SOURCE(S):	MARPAT 110:38894			

GI



Updated Search

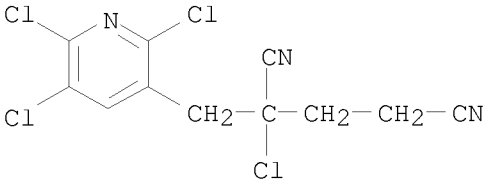
stn

AB The title compds. (I; R = aryl, heteroaryl; X = halo) were prepared by diazotization of RNH2, condensation of the product with H2C:C(CN)CH2CH2CN (II) to give RCH2CX(CN)CH2CH2CN which is cyclized to a piperidine-2,6-dione, and aromatization to I. Thus, aniline and II were heated to 55-60° in MeP(O)(OMe)2 containing HCl and CuCl and EtCHMeONO added over 1.5 h and the mixture stirred an addnl. 1.5 h to give PhCH2CCl(CN)CH2CH2CN which was refluxed 2 h in HOAc containing H2SO4 to give III. The latter was refluxed 7 h in POCl3 containing (Me2N)3P to give 50% I (R = Ph, X = Cl).

IT 112177-06-7P 118327-79-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of dye intermediates)

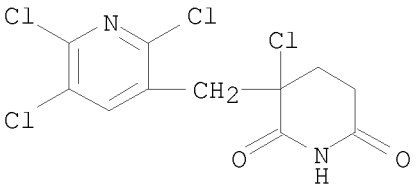
RN 112177-06-7 HCAPLUS

CN Pentanedinitrile, 2-chloro-2-[(2,5,6-trichloro-3-pyridinyl)methyl]- (CA INDEX NAME)



RN 118327-79-0 HCAPLUS

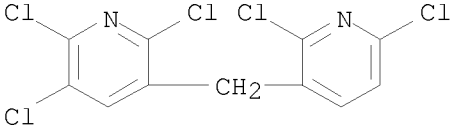
CN 2,6-Piperidinedione, 3-chloro-3-[(2,5,6-trichloro-3-pyridinyl)methyl]- (CA INDEX NAME)



IT 118327-82-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as dye intermediate)

RN 118327-82-5 HCAPLUS

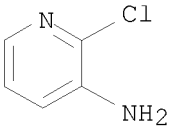
CN Pyridine, 2,3,6-trichloro-5-[(2,6-dichloro-3-pyridinyl)methyl]- (CA INDEX NAME)



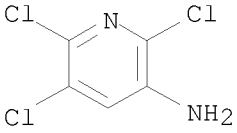
Updated Search

stn

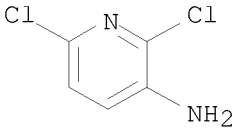
IT 6298-19-7, 2-Chloro-3-aminopyridine 55304-76-2
62476-56-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of dye intermediates)
RN 6298-19-7 HCAPLUS
CN 3-Pyridinamine, 2-chloro- (CA INDEX NAME)



RN 55304-76-2 HCAPLUS
CN 3-Pyridinamine, 2,5,6-trichloro- (CA INDEX NAME)



RN 62476-56-6 HCAPLUS
CN 3-Pyridinamine, 2,6-dichloro- (CA INDEX NAME)



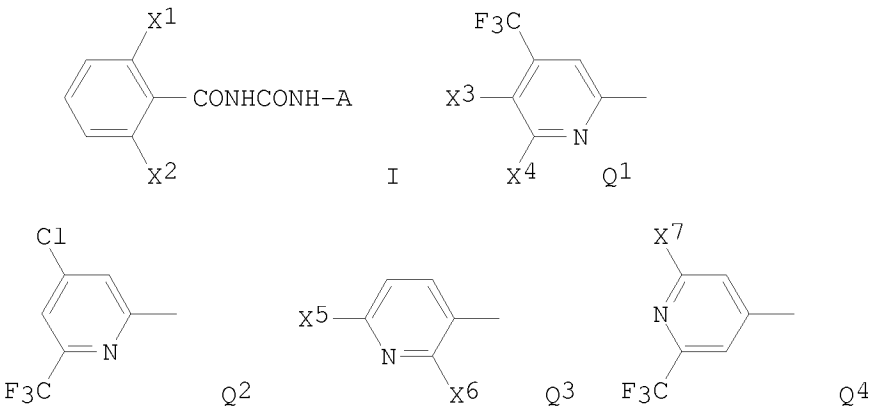
L9 ANSWER 24 OF 27 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1988:610902 HCAPLUS
DOCUMENT NUMBER: 109:210902
ORIGINAL REFERENCE NO.: 109:34887a,34890a
TITLE: Preparation N-pyridyl-N'-benzoylureas as insecticides
INVENTOR(S): Toki, Tadaaki; Tsujii, Yasuhiro; Yoshida, Kyomitsu; Nakamura, Yuji; Imai, Osamu; Kimura, Tokiya
PATENT ASSIGNEE(S): Ishihara Sangyo Kaisha, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 14 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 63048268	A	19880229	JP 1986-191012	19860814

Updated Search

stn

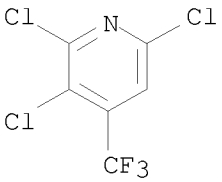
PRIORITY APPLN. INFO.: JP 1986-191012 19860814
OTHER SOURCE(S): MARPAT 109:210902
GI



AB Title compds. I [A = Q1, Q2, Q3, Q4; X1 = halo, X2,X3 = H, halo; X4 = halo, R1O; one of X5 and X6 = CF3 and other = halo, S(O)nR2; X7 = H, halo, R1O; R1 = (halo-substituted) alkyl, R2 = alkyl; n = 0-2] are prepared by reaction of 2,6-X1X2C6H3CONCO and ANH2. Chlorination of 2,6-dichloro-4-trifluoromethylpyridine with Cl in the presence of FeCl3 at 140-160° for 3 h gave 2,3,6-trichloro-4-trifluoromethylpyridine, which was autoclaved in 28% aqueous NH3 in the presence of CuCl at 120° for 12 h to afford 6-amino-2,3-dichloro-4-trifluoromethylpyridine (II). A solution of II in dioxane was treated with 2,6-F2C6H3CONCO to give I (A = Q1; X1 = X2 = F; X3 = X4 = Cl), which at 800 ppm showed 100% control of Spodoptera litura. A wettable powder was formulated containing I (A = Q1; X1 = X2 = X4 = F; X3 = Cl) 20, zeeklite 72, and Na ligninsulfonate 8 weight parts.

IT 81565-20-0P, 2,3,6-Trichloro-4-trifluoromethylpyridine
117519-03-6P 117519-09-2P,
3-Amino-2-chloro-6-trifluoromethylpyridine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of pyridyl(benzoyl)urea insecticides)

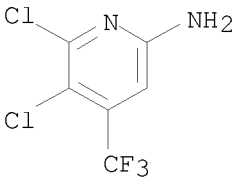
RN 81565-20-0 HCAPLUS
CN Pyridine, 2,3,6-trichloro-4-(trifluoromethyl)- (CA INDEX NAME)



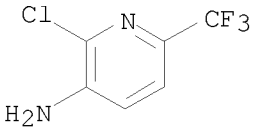
RN 117519-03-6 HCAPLUS
CN 2-Pyridinamine, 5,6-dichloro-4-(trifluoromethyl)- (CA INDEX NAME)

Updated Search

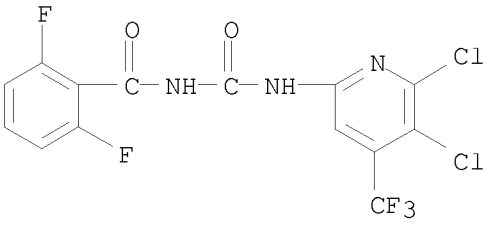
stn



RN 117519-09-2 HCAPLUS
CN 3-Pyridinamine, 2-chloro-6-(trifluoromethyl)- (CA INDEX NAME)



IT 117518-86-2P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as insecticide)
RN 117518-86-2 HCAPLUS
CN Benzamide, N-[[[5,6-dichloro-4-(trifluoromethyl)-2-pyridinyl]amino]carbonyl]-2,6-difluoro- (CA INDEX NAME)

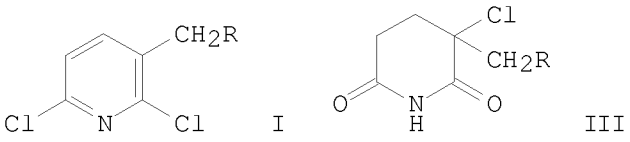


L9 ANSWER 25 OF 27 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1988:55848 HCAPLUS
DOCUMENT NUMBER: 108:55848
ORIGINAL REFERENCE NO.: 108:9321a,9324a
TITLE: The synthesis of halogenated pyridines substituted at the carbon atom C-3
AUTHOR(S): Sutter, Peter; Weis, Claus D.
CORPORATE SOURCE: Dyest. Chem. Dep., Ciba-Geigy, Ltd., Basel, Switz.
SOURCE: Journal of Heterocyclic Chemistry (1987), 24(4), 1093-102
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English

Updated Search

stn

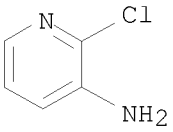
OTHER SOURCE(S): CASREACT 108:55848
GI



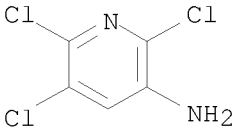
AB Seventeen 3-substituted pyridines I (R = Ph, 4-MeC6H4, 4-NO2C6H4, 2,5-Cl2C6H3, 3-pyridinyl, etc.) were prepared in 3 steps from the corresponding amines RNH2 (II). Arylation of H2C:C(CN)CH2CH2CN with II in the presence of CuCl, HCl, and isoamyl nitrite in di-Me methylphosphonate (preferred solvent) gave dicyanobutanes RCH2CCl(CN)CH2CH2CN which were cyclized with H2SO4-HOAc to give piperidinediones III. Aromatization with POCl3 in the presence of HMPA gave I.

IT 6298-19-7, 2-Chloro-3-aminopyridine 55304-76-2, 2,5,6-Trichloro-3-aminopyridine 62476-56-6, 2,6-Dichloro-3-aminopyridine
RL: RCT (Reactant); RACT (Reactant or reagent)
(arylation by, of methyleneglutaronitrile)

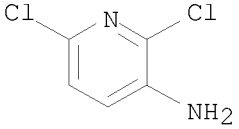
RN 6298-19-7 HCAPLUS
CN 3-Pyridinamine, 2-chloro- (CA INDEX NAME)



RN 55304-76-2 HCAPLUS
CN 3-Pyridinamine, 2,5,6-trichloro- (CA INDEX NAME)



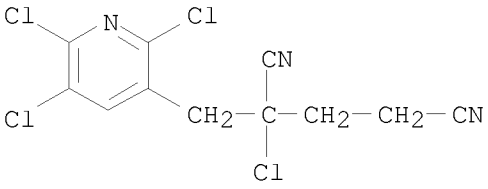
RN 62476-56-6 HCAPLUS
CN 3-Pyridinamine, 2,6-dichloro- (CA INDEX NAME)



Updated Search

stn

IT 112177-06-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of)
RN 112177-06-7 HCAPLUS
CN Pentanedinitrile, 2-chloro-2-[(2,5,6-trichloro-3-pyridinyl)methyl]- (CA INDEX NAME)



L9 ANSWER 26 OF 27 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1986:591059 HCAPLUS
DOCUMENT NUMBER: 105:191059
ORIGINAL REFERENCE NO.: 105:30835a,30838a
TITLE: 1-Cyclopropyl-1,4-dihydro-4-oxo-1,8-naphthyridine-3-carboxylic acids
INVENTOR(S): Petersen, Uwe; Grohe, Klaus; Zeiler, Hans Joachim; Metzger, Karl Georg
PATENT ASSIGNEE(S): Bayer A.-G. , Fed. Rep. Ger.
SOURCE: Ger. Offen., 64 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3508816	A1	19860710	DE 1985-3508816	19850313
NO 8505134	A	19860711	NO 1985-5134	19851218
NO 163331	B	19900129		
NO 163331	C	19900509		
EP 187376	A2	19860716	EP 1985-116551	19851224
EP 187376	A3	19880504		
EP 187376	B1	19920513		
R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE				
AT 76076	T	19920515	AT 1985-116551	19851224
US 4840954	A	19890620	US 1985-815440	19851231
IL 77538	A	19920525	IL 1986-77538	19860107
FI 8600073	A	19860711	FI 1986-73	19860108
FI 86721	B	19920630		
FI 86721	C	19921012		
DD 241258	A5	19861203	DD 1986-286039	19860108
DD 257427	A5	19880615	DD 1986-296482	19860108
DD 257428	A5	19880615	DD 1986-296483	19860108
CA 1339373	C	19970826	CA 1986-499241	19860108
DK 8600091	A	19860711	DK 1986-91	19860109

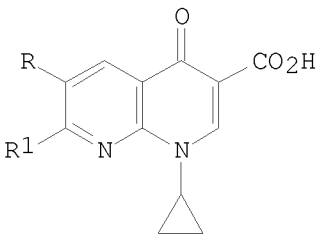
Updated Search

stn

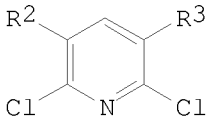
DK 168439	B1	19940328			
JP 61161284	A	19860721	JP 1986-1485		19860109
JP 06053741	B	19940720			
ZA 8600163	A	19860924	ZA 1986-163		19860109
HU 40126	A2	19861128	HU 1986-87		19860109
HU 193623	B	19871130			
AU 8652164	A	19870122	AU 1986-52164		19860109
AU 574550	B2	19880707			
ES 550767	A5	19880715	ES 1986-550767		19860109
PL 148191	B1	19890930	PL 1986-264565		19860109
PL 148759	B1	19891130	PL 1986-257419		19860109
HU 202840	B	19910429	HU 1987-1847		19860109
CN 86100126	A	19860709	CN 1986-100126		19860110
CN 1003239	B	19890208			
NO 8600199	A	19860711	NO 1986-199		19860121
AU 8773118	A	19870910	AU 1987-73118		19870515
AU 576449	B2	19880825			
AU 8818359	A	19880915	AU 1988-18359		19880624
FI 8902675	A	19890601	FI 1989-2675		19890601
CA 1320206	C2	19930713	CA 1990-615694		19900405
PRIORITY APPLN. INFO.:			DE 1985-3500562	A1	19850110
			DE 1985-3508816	A	19850313
			EP 1985-116551	A	19851224
			CA 1986-499241	A3	19860108
			FI 1986-73	A	19860108

OTHER SOURCE(S): CASREACT 105:191059; MARPAT 105:191059

GI



I



II

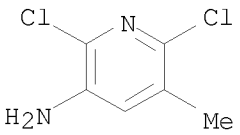
AB The title compds. [I; R = halo, NO2; R1 = (un)substituted 1-piperazinyl, 1-pyrrolidinyl] were prepared as bactericides and feed additives. Thus, 2,6-dichloro-5-methyl-3-pyridinamine (II, R2 = NH2, R3 = Me) was diazotized and coupled with Me2NH to give II (R2 = Me2NN:N, R3 = Me) which was fluorinated with HF to give II (R2 = F, R3 = Me). The latter was converted in 6 steps to II [R2 = F, R3 = EtO2CC(:CHOEt)CO] which was condensed with cyclopropylamine, followed by cyclization and hydrolysis of the ester group, to give I (R = F, R1 = Cl). The latter was heated with piperazine in Me2SO to give I (R = F, R1 = 1-piperazinyl) (III). III had a min. inhibitory concentration of ≤0.015 mcg/mL against Escherichia coli Neum. Tablets were prepared each containing III 583.0, microcyrst. cellulose 55.0, cornstarch 72.0, polyvinylpyrrolidine 30.0, dispersed silica 5.0, and Mg stearate 5.0 mg.

IT 58596-89-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(diazotization of)

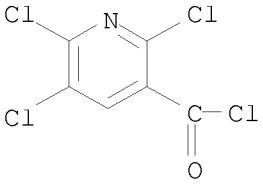
Updated Search

stn

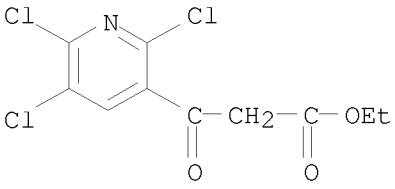
RN 58596-89-7 HCAPLUS
CN 3-Pyridinamine, 2,6-dichloro-5-methyl- (CA INDEX NAME)



IT 58584-88-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and Grignard reaction of, with malonate)
RN 58584-88-6 HCAPLUS
CN 3-Pyridinecarbonyl chloride, 2,5,6-trichloro- (CA INDEX NAME)



IT 104866-51-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and condensation of, with orthoformate and cyclopropylamine)
RN 104866-51-5 HCAPLUS
CN 3-Pyridinepropanoic acid, 2,5,6-trichloro-β-oxo-, ethyl ester (CA INDEX NAME)



L9 ANSWER 27 OF 27 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1975:156113 HCAPLUS
DOCUMENT NUMBER: 82:156113
ORIGINAL REFERENCE NO.: 82:24909a,24912a
TITLE: 2,6-Dibromopyridines
INVENTOR(S): Mutterer, Francis
PATENT ASSIGNEE(S): Ciba-Geigy A.-G.
SOURCE: Ger. Offen., 26 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent

Updated Search

stn

LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

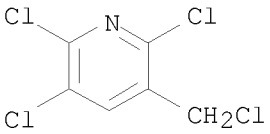
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2432686	A1	19750130	DE 1974-2432686	19740708
US 3974166	A	19760810	US 1974-479920	19740617
JP 50037784	A	19750408	JP 1974-77764	19740706
FR 2236861	A1	19750207	FR 1974-23983	19740710
PRIORITY APPLN. INFO.:			CH 1973-10020 A	19730710

GI For diagram(s), see printed CA Issue.

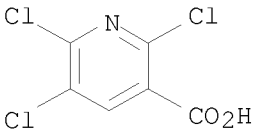
AB Fifteen pyridines I (R = Br, R1 = H, Cl, NO2, CHO, CO2H, CF3, NH2, CH2Br; R2 = H, Br; R3 = H, Cl, O2N), useful as plant protecting herbicides, were prepared from the corresponding chlorosubstituted pyridines, especially I (R = Cl), by treatment with HBr(g). Thus, I (R = Cl, R1 = R2 = R3 = H) in AcOH was treated with HBr at 110° to give 92% I (R = Br, R1 = R2 = R3 = H).

IT 52465-59-5P 54718-39-7P 55304-72-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction with hydrogen bromide)

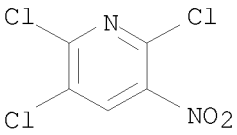
RN 52465-59-5 HCAPLUS
CN Pyridine, 2,3,6-trichloro-5-(chloromethyl)- (CA INDEX NAME)



RN 54718-39-7 HCAPLUS
CN 3-Pyridinecarboxylic acid, 2,5,6-trichloro- (CA INDEX NAME)



RN 55304-72-8 HCAPLUS
CN Pyridine, 2,3,6-trichloro-5-nitro- (CA INDEX NAME)

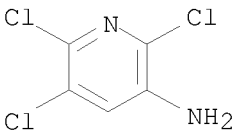


IT 55304-76-2

Updated Search

stn

RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction with hydrogen bromide)
RN 55304-76-2 HCAPLUS
CN 3-Pyridinamine, 2,5,6-trichloro- (CA INDEX NAME)



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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	155.22	543.56

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-21.60	-22.40

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FILE COVERS 1907 - 30 Oct 2008 VOL 149 ISS 18
FILE LAST UPDATED: 29 Oct 2008 (20081029/ED)

HCAplus now includes complete International Patent Classification (IPC)
reclassification data for the second quarter of 2008.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate
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(FILE 'HOME' ENTERED AT 17:27:42 ON 30 OCT 2008)

FILE 'REGISTRY' ENTERED AT 17:28:03 ON 30 OCT 2008

Updated Search

stn

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L1              STRUCTURE UPLOADED
L2           50 S L1
L3         9905 S L1 FULL

     FILE 'HCAPLUS' ENTERED AT 17:36:25 ON 30 OCT 2008
L4         1550 S L3/PREP

     FILE 'REGISTRY' ENTERED AT 17:36:34 ON 30 OCT 2008
L5              STRUCTURE UPLOADED
L6           18 S L5
L7          329 S L5 FULL

     FILE 'HCAPLUS' ENTERED AT 17:38:12 ON 30 OCT 2008
L8          684 S L7/RCT
L9           27 S L8 AND L4
L10           1 S L9 AND SHAPIRO, R?/AU

     FILE 'REGISTRY' ENTERED AT 17:40:46 ON 30 OCT 2008
                E NITRITE/CN
L11           1 S E3

     FILE 'HCAPLUS' ENTERED AT 17:40:58 ON 30 OCT 2008
L12       19225 S L11
L13           0 S L12 AND L9
L14           0 S L9 AND L12

     FILE 'HCAPLUS' ENTERED AT 17:42:48 ON 30 OCT 2008

=> file caold
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FULL ESTIMATED COST                                   2.69     546.25

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CA SUBSCRIBER PRICE                                   0.00     -22.40

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FILE COVERS 1907-1966
FILE LAST UPDATED:  01 May 1997 (19970501/UP)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.  Title keywords, authors, patent
  assignees, and patent information, e.g., patent numbers, are
  now searchable from 1907-1966.  TIFF images of CA abstracts
  printed between 1907-1966 are available in the PAGE
  display formats.

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  This file supports REG1stRY for direct browsing and searching of
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more information.

CAOLD will be discontinued and removed from associated database clusters.

. November 22, 2008 - removed from database clusters
. December 31, 2008 - removed from STN

Content previously available only in CAOLD is now available in CA/CAplus. To learn more about the options available for transferring saved search queries and answer sets to CA/CAplus, contact your STN Service Center.

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     (FILE 'HOME' ENTERED AT 17:27:42 ON 30 OCT 2008)

     FILE 'REGISTRY' ENTERED AT 17:28:03 ON 30 OCT 2008
L1             STRUCTURE UPLOADED
L2              50 S L1
L3            9905 S L1 FULL

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     FILE 'REGISTRY' ENTERED AT 17:36:34 ON 30 OCT 2008
L5             STRUCTURE UPLOADED
L6              18 S L5
L7             329 S L5 FULL

     FILE 'HCAPLUS' ENTERED AT 17:38:12 ON 30 OCT 2008
L8             684 S L7/RCT
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L12          19225 S L11
L13              0 S L12 AND L9
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     FILE 'HCAPLUS' ENTERED AT 17:42:48 ON 30 OCT 2008

     FILE 'CAOLD' ENTERED AT 17:42:53 ON 30 OCT 2008

=> s l3 and l7
             125 L3
               9 L7
L15            1 L3 AND L7

=> s l15 and copper
            1031 COPPER
              41 COPPERS
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Updated Search

stn

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                 (COPPER OR COPPERS)
L16          0 L15 AND COPPER

=> file reg
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FULL ESTIMATED COST                                       2.47     548.72

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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   29 OCT 2008  HIGHEST RN 1068186-59-3
DICTIONARY FILE UPDATES:  29 OCT 2008  HIGHEST RN 1068186-59-3

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

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     (FILE 'HOME' ENTERED AT 17:27:42 ON 30 OCT 2008)

     FILE 'REGISTRY' ENTERED AT 17:28:03 ON 30 OCT 2008
L1             STRUCTURE UPLOADED
L2           50 S L1
L3         9905 S L1 FULL

     FILE 'HCAPLUS' ENTERED AT 17:36:25 ON 30 OCT 2008
L4         1550 S L3/PREP

     FILE 'REGISTRY' ENTERED AT 17:36:34 ON 30 OCT 2008
L5             STRUCTURE UPLOADED
L6           18 S L5
L7          329 S L5 FULL

     FILE 'HCAPLUS' ENTERED AT 17:38:12 ON 30 OCT 2008
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Updated Search

stn

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L8          684 S L7/RCT
L9           27 S L8 AND L4
L10           1 S L9 AND SHAPIRO, R?/AU

     FILE 'REGISTRY' ENTERED AT 17:40:46 ON 30 OCT 2008
                E NITRITE/CN
L11           1 S E3

     FILE 'HCAPLUS' ENTERED AT 17:40:58 ON 30 OCT 2008
L12       19225 S L11
L13           0 S L12 AND L9
L14           0 S L9 AND L12

     FILE 'HCAPLUS' ENTERED AT 17:42:48 ON 30 OCT 2008

     FILE 'CAOLD' ENTERED AT 17:42:53 ON 30 OCT 2008
L15           1 S L3 AND L7
L16           0 S L15 AND COPPER

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=> file hcaplus
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USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE COVERS 1907 - 30 Oct 2008  VOL 149 ISS 18
FILE LAST UPDATED: 29 Oct 2008  (20081029/ED)

HCAplus now includes complete International Patent Classification (IPC)
reclassification data for the second quarter of 2008.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.
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Updated Search

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stn


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L1             STRUCTURE UPLOADED
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L3         9905 S L1 FULL

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     FILE 'HCAPLUS' ENTERED AT 17:40:58 ON 30 OCT 2008
L12       19225 S L11
L13           0 S L12 AND L9
L14           0 S L9 AND L12

     FILE 'HCAPLUS' ENTERED AT 17:42:48 ON 30 OCT 2008

     FILE 'CAOLD' ENTERED AT 17:42:53 ON 30 OCT 2008
L15           1 S L3 AND L7
L16           0 S L15 AND COPPER

     FILE 'REGISTRY' ENTERED AT 17:43:41 ON 30 OCT 2008

     FILE 'HCAPLUS' ENTERED AT 17:43:49 ON 30 OCT 2008

=> s l9 and copper?
       1015262 COPPER?
L17           1 L9 AND COPPER?

=> s l17 not l10
L18           0 L17 NOT L10
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Updated Search